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(19) (CA) **APPLICATION FOR CANADIAN PATENT** (12)

(54) Substituted 1-Aryltriazolinones

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(57) 21 Claims

5,089,2/39

Notice: This application is as filed and may therefore contain an  
incomplete specification.



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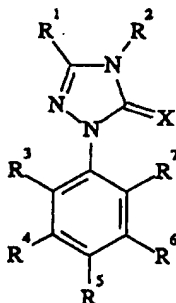
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The invention relates to new substituted 1-aryltriazo-  
linones, to a number of processes for their preparation, to  
a number of new intermediates, and to their use as herbi-  
cides.

5 It is known that certain substituted triazolinones such  
as, for example, the compound 3-methyl-4-propargyl-1-  
(2,5-difluoro-4-cyano-phenyl)-1,2,4-triazolin-5-one  
possess herbicidal properties (cf. e.g. DE 38 39 480).

10 However the herbicidal activity of these previously known  
compounds with regard to problem weeds, and also their  
toleration by important crop plants, is not completely  
satisfactory in all areas of application.

New substituted 1-aryltriazo-  
linones of the general  
formula (I),



(I)

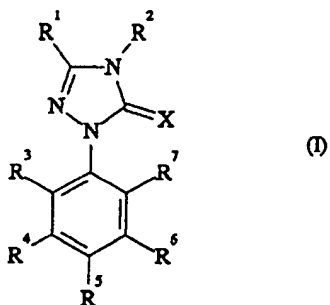
15 have now been found in which

- $R^1$  represents hydrogen, alkyl, halogenoalkyl, alkoxy, alkylthio, alkylsulphinyl, alkylsulphonyl or cycl alkyl,  
 $R^2$  represents a radical of the formula  $-NR^6R^9$ ,  
 5  $R^3$ ,  $R^6$  and  $R^7$  independently of one another in each case represent hydrogen, halogen, amino or nitro,  
 $R^4$  represents hydrogen, halogen, cyano or nitro, or one of the radicals  $-R^{10}$ ,  $-O-R^{10}$ ,  $-S-R^{10}$ ,  $-S(O)-R^{10}$ ,  $-SO_2-R^{10}$ ,  $-SO_2-OR^{10}$ ,  $-SO_2-NR^{11}R^{10}$ ,  
 10  $-CO-OR^{10}$ ,  $-CO-NR^{11}R^{10}$ ,  $-O-SO_2-R^{10}$ ,  $-N(R^{11})-SO_2-R^{10}$ ,  $-NR^{11}R^{10}$ ,  $-NH-P(O)(R^{11})(OR^{10})$  or  $-NH-P(O)(OR^{11})(OR^{10})$ ,  
 $R^5$  represents nitro, cyano, halogen or halogenoalkyl, and  
 15  $X$  represents oxygen or sulphur, where  
 $R^8$  represents hydrogen, alkyl, halogenoalkyl, a radical of the formula  $-CO-R^{12}$  or a radical of the formula  $-S(O)_n-R^{12}$ ,  
 $R^9$  represents alkyl, halogenoalkyl, a radical of  
 20 the formula  $-CO-R^{12}$  or a radical of the formula  $-S(O)_n-R^{12}$ ,  
 $R^{10}$  represents hydrogen or represents in each case optionally substituted alkyl, alkenyl, alkynyl, cycloalkyl, aryl, arylalkyl or heterocyclyl,  
 25  $R^{11}$  represents hydrogen or represents in each case optionally substituted alkyl, alkenyl, alkynyl, cycloalkyl, arylalkyl or aryl,  
 $R^{12}$  represents in each case optionally substituted alkyl, cycloalkyl, arylalkyl, aryl or heterocyclyl, and  
 30

n represents a number 0, 1 or 2.

Depending on the nature of the substituents, the compounds of the formula (I) may possibly be present as geometrical and/or optical isomers or isomer mixtures of different composition. Both the pure isomers and the isomer mixtures are claimed according to the invention.

It has also been found that the new substituted 1-aryl-triazolinones of the general formula (I),



in which

- 10        R¹ represents hydrogen, alkyl, halogenoalkyl, alkoxy, alkylthio, alkylsulphinyl, alkylsulphonyl or cycloalkyl,
- R² represents a radical of the formula -NR³R⁴,
- R³, R⁴ and R⁵ independently of one another in each
- 15        case represent hydrogen, halogen, amino or nitro,
- R⁶ represents hydrogen, halogen, cyano or nitro, or one of the radicals -R¹⁰, -O-R¹⁰, -S-R¹⁰, -S(O)-R¹⁰, -SO₂-R¹⁰, -SO₂-OR¹⁰, -SO₂-NR¹¹R¹⁰,

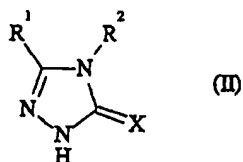
-CO-OR<sup>10</sup>, -CO-NR<sup>11</sup>R<sup>10</sup>, -O-SO<sub>2</sub>-R<sup>10</sup>, -N(R<sup>11</sup>)-SO<sub>2</sub>-R<sup>10</sup>,  
 -NR<sup>11</sup>R<sup>10</sup>, -NH-P(O)(R<sup>11</sup>)(OR<sup>10</sup>) or  
 -NH-P(O)(OR<sup>11</sup>)(OR<sup>10</sup>),

- 5 R<sup>5</sup> represents nitro, cyano, halogen or halogeno-alkyl, and
- X represents oxygen or sulphur, where
- R<sup>8</sup> represents hydrogen, alkyl, halogenoalkyl, a radical of the formula -CO-R<sup>12</sup> or a radical of the formula -S(O)<sub>n</sub>-R<sup>12</sup>,
- 10 R<sup>9</sup> represents alkyl, halogenoalkyl, a radical of the formula -CO-R<sup>12</sup> or a radical of the formula -S(O)<sub>n</sub>-R<sup>12</sup>,
- R<sup>10</sup> represents hydrogen or represents in each case optionally substituted alkyl, alkenyl, alkinyl, cycloalkyl, aryl, arylalkyl or heterocyclyl,
- 15 R<sup>11</sup> represents hydrogen or represents in each case optionally substituted alkyl, alkenyl, alkinyl, cycloalkyl, arylalkyl or aryl,
- R<sup>12</sup> represents in each case optionally substituted alkyl, cycloalkyl, aryl, arylalkyl or heterocyclyl, and
- 20 n represents a number 0, 1 or 2

are obtained when

a) 1H-triazolinones of the formula (II),

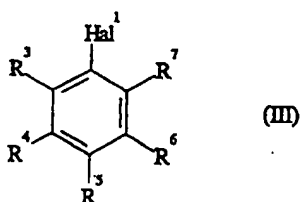
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in which

R¹, R² and X have the meaning given above,

are reacted with halogenobenzene derivatives of the formula (III),



5 in which

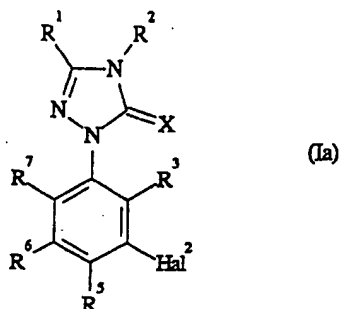
R³, R⁴, R⁵, R⁶ and R⁷ have the meanings given above and

Hal¹ represents halogen,

10 optionally in the presence of a diluent and optionally in the presence of a reaction auxiliary, or when

b) substituted 1-aryltriazolinones of the formula (Ia),

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in which

$R^1$ ,  $R^2$ ,  $R^3$ ,  $R^4$ ,  $R^5$ ,  $R^7$  and  $X$  have the meanings given above and

$Hal^2$  represents halogen,

5 are reacted with nucleophiles of the formula (IV),



in which

$R^{13}$  represents a radical of the formula  $-O-R^{10}$ ,  $-S-R^{10}$  or  $-NR^{11}R^{10}$ , where  $R^{10}$  and  $R^{11}$  have the meanings given above,

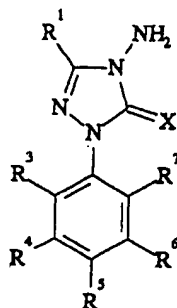
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optionally in the presence of a diluent and optionally in the presence of a reaction auxiliary, or when

c) substituted triazolinones of the formula (V),



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(V)

in which

$R^1$ ,  $R^3$ ,  $R^4$ ,  $R^5$ ,  $R^6$ ,  $R^7$  and X have the meanings given above

5

are reacted with alkylating, acylating or sulphonylating agents of the formula (VI),

$R^9-E$

(VI)

in which

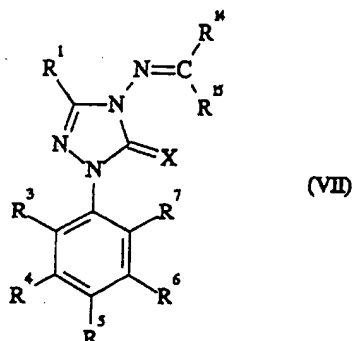
$R^9$  has the meaning given above and

E represents an electron-attracting leaving group,

10

optionally in the presence of a diluent and optionally in the presence of a reaction auxiliary, or when

d) 4-alkylideneimino-triazolinones of the formula (VII),



in which

$R^1$ ,  $R^3$ ,  $R^4$ ,  $R^5$ ,  $R^6$ ,  $R^7$  and  $X$  have the meanings given above,

$R^{14}$  represents hydrogen or alkyl and

5  $R^{15}$  represents alkyl or alkoxy,

are reacted with a reducing agent, optionally in the presence of a diluent and optionally in the presence of a reaction auxiliary.

10 Finally it has been found that the new substituted 1-aryltriazolinones of the general formula (I) possess herbicidal properties.

15 Surprisingly, the substituted 1-aryltriazolinones of the general formula (I) according to the invention exhibit a considerably improved herbicidal activity against problem weeds with a comparable tolerance by crop plants in comparison to the substituted triazolinones known from

the state of the art, such as, for example, the compound 3-methyl-4-propargyl-1-(2,5-difluoro-4-cyanophenyl)-1,2,4-triazolin-5-one, which are closely related compounds in terms of their chemistry and their action.

5 The general definition of the substituted 1-aryltriazolines according to the invention is given by the formula (I). Preferred compounds of the formula (I) are those in which

- 10  $R^1$  represents hydrogen or represents in each case straight-chain or branched alkyl, alkoxy, alkylthio or alkylsulphonyl having in each case from 1 to 8 carbon atoms, furthermore represents straight-chain or branched halogenoalkyl having from 1 to 8 carbon atoms and from 1 to 17 identical or different
- 15 halogen atoms, or represents cycloalkyl having from 3 to 8 carbon atoms,
- $R^2$  represents a radical of the formula  $-NR^6R^7$ ,
- $R^3$ ,  $R^6$  and  $R^7$  independently of one another in each case represent hydrogen, fluorine, chlorine, bromine,
- 20 iodine, amino or nitro,
- $R^4$  represents hydrogen, fluorine, chlorine, bromine, iodine, cyano or nitro, or represents one of the radicals  $-R^{10}$ ,  $-O-R^{10}$ ,  $-S-R^{10}$ ,  $-S(O)-R^{10}$ ,  $-SO_2-R^{10}$ ,  $-SO_2-OR^{10}$ ,  $-SO_2-NR^{11}R^{10}$ ,  $-CO-OR^{10}$ ,  $-CO-NR^{11}R^{10}$ ,  $-O-SO_2-R^{10}$ ,
- 25  $-N(R^{11})-SO_2-R^{10}$ ,  $-NR^{11}R^{10}$ ,  $-NH-P(O)(R^{11})(OR^{10})$  or  $-NH-P(O)(OR^{11})(OR^{10})$ ,
- $R^5$  represents nitro, cyano, fluorine, chlorine, bromine, iodine or represents straight-chain or branched halogenoalkyl having from 1 to 6 carbon atoms and

from 1 to 13 identical or different halogen atoms and

X represents oxygen r sulphur, where

R<sup>8</sup> represents hydrogen, straight-chain or branched alkyl having from 1 to 8 carbon atoms or straight-chain or branched halogenoalkyl having from 1 to 8 carbon atoms and from 1 to 17 identical or different halogen atoms, and furthermore represents a radical of the formula -CO-R<sup>12</sup> or a radical of the formula -S(O)<sub>n</sub>-R<sup>12</sup>,

R<sup>9</sup> represents straight-chain or branched alkyl having from 1 to 8 carbon atoms or straight-chain or branched halogenoalkyl having from 1 to 8 carbon atoms and from 1 to 17 identical or different halogen atoms, and furthermore represents a radical of the formula -CO-R<sup>12</sup> or a radical of the formula -S(O)<sub>n</sub>-R<sup>12</sup>,

R<sup>10</sup> represents hydrogen;

R<sup>10</sup> furthermore represents straight-chain or branched alkyl having from 1 to 14 carbon atoms which is optionally substituted once or more than once by identical or different substituents, possible substituents being:

halogen - in particular fluorine, chlorine, bromine and/or iodine - cyano, carboxyl, carbamoyl, in each case straight-chain or branched alkoxy, alkoxy-alkoxy, alkylthio, alkylsulphinyl, alkylsulphonyl, alkoxycarbonyl, N-alkylaminocarbonyl, N,N-dialkylaminocarbonyl or alkylsulphonylaminocarbonyl having in each case from 1 to 8 carbon atoms in the

- individual alkyl moieties, or heterocyclyl, the heterocyclyl radical being a five- to seven-membered, optionally benzo-fused, saturated or unsaturated heterocycle having from 1 to 3 identical or different hetero atoms - in particular nitrogen, oxygen and/or sulphur;
- 5
- $R^{10}$  furthermore represents alkenyl or alkinyl having in each case from 2 to 8 carbon atoms, which are optionally substituted once or more than once by identical or different halogens - in particular fluorine, chlorine, bromine and/or iodine;
- 10
- $R^{10}$  furthermore represents cycloalkyl having from 3 to 7 carbon atoms which is optionally substituted once or more than once by identical or different substituents comprising halogen - in particular fluorine, chlorine, bromine and/or iodine - and/or straight-chain or branched alkyl having from 1 to 4 carbon atoms;
- 15
- $R^{10}$  furthermore represents arylalkyl or aryl having in each case from 6 to 10 carbon atoms in the aryl moiety and optionally from 1 to 4 carbon atoms in the straight-chain or branched alkyl moiety, which are in each case optionally substituted in the aryl moiety once or more than once by identical or different substituents, or represents a saturated or unsaturated, five- to seven-membered heterocyclyl radical having from 1 to 3 identical or different hetero atoms - in particular nitrogen, oxygen and/or sulphur - which is optionally substituted once or more than once by identical or different
- 20
- 25
- 30

substituents and/or is benzo-fused, possible substituents of the aryl and/or heterocyclyl being in each case:

- 5 halogen, cyano, nitro, amino, N-acetylamino, in each case straight-chain or branched alkyl, alkoxy, alkylthio, alkylsulphanyl or alkylsulphonyl having in each case from 1 to 6 carbon atoms, in each case straight-chain or branched halogenoalkyl, halogenoalkoxy, halogenoalkylthio, halogenoalkylsulphanyl or
- 10 halogenoalkylsulphonyl having in each case from 1 to 6 carbon atoms and from 1 to 13 identical or different halogen atoms, in each case straight-chain or branched alkoxycarbonyl or alkoximinoalkyl having in each case from 1 to 6 carbon atoms in the individual
- 15 alkyl moieties, and phenyl which is optionally substituted once or more than once by identical or different substituents comprising halogen and/or straight-chain or branched alkyl or alkoxy having in each case from 1 to 6 carbon atoms and/or straight-chain or branched halogenoalkyl or halogenoalkoxy
- 20 having in each case from 1 to 6 carbon atoms and from 1 to 13 identical or different halogen atoms;
- R<sup>11</sup> represents hydrogen;
- R<sup>11</sup> furthermore represents straight-chain or branched
- 25 alkyl having from 1 to 14 carbon atoms which is optionally substituted once or more than once by identical or different substituents, possible substituents being:
- 30 halogen - in particular fluorine, chlorine, bromine and/or iodine - cyano, carboxyl, carbamoyl, in each

- case straight-chain or branched alkoxy, alkoxy-alkoxy, alkylthio, alkylsulphinyl, alkylsulphonyl, alkoxycarbonyl, N-alkylaminocarbonyl, N,N-dialkylaminocarbonyl or alkylsulphonylaminocarbonyl having
- 5 in each case from 1 to 8 carbon atoms in the individual alkyl moieties, or heterocyclyl, the heterocyclyl radical being a five- to seven-membered, optionally benzo-fused, saturated or unsaturated
- 10 heterocycle having from 1 to 3 identical or different hetero atoms - in particular nitrogen, oxygen and/or sulphur;
- R<sup>11</sup> furthermore represents alkenyl or alkinyl having in each case from 2 to 8 carbon atoms, which are optionally substituted once or more than once by
- 15 identical or different halogens - in particular fluorine, chlorine, bromine and/or iodine;
- R<sup>11</sup> furthermore represents cycloalkyl having from 3 to 7 carbon atoms which is optionally substituted once or more than once by identical or different substituents comprising halogen - in particular fluorine,
- 20 chlorine, bromine and/or iodine - and/or straight-chain or branched alkyl having from 1 to 4 carbon atoms;
- R<sup>11</sup> furthermore represents arylalkyl or aryl having in each case from 6 to 10 carbon atoms in the aryl moiety and optionally 1 to 4 carbon atoms in the
- 25 straight-chain or branched alkyl moiety, which are in each case optionally substituted in the aryl moiety once or more than once by identical or
- 30 different substituents, possible substituents of the

- aryl being in each case:  
 halogen, cyano, nitro, amino, N-acetylamino, in each  
 case straight-chain or branched alkyl, alkoxy,  
 alkylthio, alkylsulphanyl or alkylsulphonyl having  
 5 in each case from 1 to 6 carbon atoms, in each case  
 straight-chain or branched halogenoalkyl, halogeno-  
 alkoxy, halogenoalkylthio, halogenoalkylsulphanyl or  
 halogenoalkylsulphonyl having in each case from 1 to  
 6 carbon atoms and from 1 to 13 identical or differ-  
 10 ent halogen atoms, in each case straight-chain or  
 branched alkoxycarbonyl or alkoximinoalkyl having in  
 each case from 1 to 6 carbon atoms in the individual  
 alkyl moieties, and phenyl which is optionally  
 substituted once or more than once by identical or  
 15 different substituents comprising halogen and/or  
 straight-chain or branched alkyl or alkoxy having in  
 each case from 1 to 6 carbon atoms and/or straight-  
 chain or branched halogenoalkyl or halogenoalkoxy  
 having in each case from 1 to 6 carbon atoms and  
 20 from 1 to 13 identical or different halogen atoms;  
 R<sup>12</sup> represents straight-chain or branched alkyl having  
 from 1 to 8 carbon atoms which is optionally substi-  
 tuted once or more than once by identical or differ-  
 ent substituents, possible substituents being:  
 25 halogen - in particular fluorine, chlorine, bromine  
 and/or iodine - cycloalkyl having from 3 to 8 carbon  
 atoms or heterocyclyl, the heterocyclyl radical  
 being a five- to seven-membered optionally benzo-  
 fused, saturated or unsaturated heterocycle having  
 30 from 1 to 3 identical or different hetero atoms - in



- particular nitrogen, oxygen and/ or sulphur;
- 5 R<sup>12</sup> furthermore represents cycloalkyl having from 3 to 7 carbon atoms which is optionally substituted once or more than once by identical or different substituents comprising halogen - in particular fluorine, chlorine, bromine and/or iodine - and/or straight-chain or branched alkyl having from 1 to 4 carbon atoms;
- 10 R<sup>12</sup> furthermore represents arylalkyl or aryl having in each case from 6 to 10 carbon atoms in the aryl moiety and optionally from 1 to 4 carbon atoms in the straight-chain or branched alkyl moiety, which are in each case optionally substituted in the aryl moiety once or more than once by identical or
- 15 different substituents, or represents a saturated or unsaturated, five- to seven-membered heterocyclyl radical having from 1 to 3 identical or different hetero atoms - in particular nitrogen, oxygen and/or sulphur - which is optionally substituted once or
- 20 more than once by identical or different substituents, possible substituents of aryl or heterocyclyl being in each case:
- 25 halogen, cyano, nitro, amino, N-acetylamino, in each case straight-chain or branched alkyl, alkoxy, alkylthio, alkylsulphinyl or alkylsulphonyl having in each case from 1 to 6 carbon atoms, in each case straight-chain or branched halogenoalkyl, halogenoalkoxy, halogenoalkylthio, halogenoalkylsulphinyl or halogenoalkylsulphonyl having in each case from 1 to
- 30 6 carbon atoms and from 1 to 13 identical or

different halogen atoms, in each case straight-chain or branched alkoxycarbonyl or alkoximinoalkyl having in each case from 1 to 6 carbon atoms in the individual alkyl moieties, and phenyl which is optionally substituted once or more than once by identical or different substituents comprising halogen and/or straight-chain or branched alkyl or alkoxy having in each case from 1 to 6 carbon atoms and/or straight-chain or branched halogenoalkyl or halogenoalkoxy having in each case from 1 to 6 carbon atoms and from 1 to 13 identical or different halogen atoms and

n represents a number 0, 1 or 2.

Particularly preferred compounds of the formula (I) are those in which

$R^1$  represents hydrogen or in each case straight-chain or branched alkyl, alkoxy, alkylthio or alkylsulphonyl having in each case from 1 to 6 carbon atoms, or furthermore represents straight-chain or branched halogenoalkyl having from 1 to 6 carbon atoms and from 1 to 13 identical or different halogen atoms - in particular fluorine, chlorine or bromine - or represents cycloalkyl having from 3 to 7 carbon atoms,

$R^2$  represents a radical of the formula  $-NR^6R^9$ ,  $R^3$ ,  $R^6$  and  $R^9$  independently of one another in each case represent hydrogen, fluorine, chlorine, bromine, amino or nitro,

- $R^4$  represents hydrogen, fluorine, chlorine, bromine, cyano or nitro, or represents one of the radicals  $-R^{10}$ ,  $-O-R^{10}$ ,  $-S-R^{10}$ ,  $-S(O)-R^{10}$ ,  $-SO_2-R^{10}$ ,  $-SO_2-OR^{10}$ ,  $-SO_2-NR^{11}R^{10}$ ,  $-CO-OR^{10}$ ,  $-CO-NR^{11}R^{10}$ ,  $-O-SO_2-R^{10}$ ,  $-N(R^{11})-SO_2-R^{10}$ ,  $-NR^{11}R^{10}$ ,  $-NH-P(O)(R^{11})(OR^{10})$  or  $-NH-P(O)(OR^{11})(OR^{10})$ ,
- $R^5$  represents nitro, cyano, fluorine, chlorine or bromine or represents straight-chain or branched halogenoalkyl having from 1 to 4 carbon atoms and from 1 to 9 identical or different halogen atoms - in particular fluorine, chlorine or bromine - and
- $X$  represents oxygen or sulphur, where
- $R^6$  represents hydrogen, straight-chain or branched alkyl having from 1 to 6 carbon atoms or straight-chain or branched halogenoalkyl having from 1 to 6 carbon atoms and from 1 to 13 identical or different halogen atoms - in particular fluorine, chlorine or bromine - and furthermore represents a radical of the formula  $-CO-R^{12}$  or a radical of the formula  $-S(O)_n-R^{12}$ ,
- $R^9$  represents straight-chain or branched alkyl having from 1 to 6 carbon atoms or straight-chain or branched halogenoalkyl having from 1 to 6 carbon atoms and from 1 to 13 identical or different halogen atoms - in particular fluorine, chlorine or bromine - and furthermore represents a radical of the formula  $-CO-R^{12}$  or a radical of the formula  $-S(O)_n-R^{12}$ ,
- $R^{10}$  represents hydrogen;
- $R^{10}$  furthermore represents straight-chain or branched

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- alkyl having from 1 to 12 carbon atoms which is optionally substituted once or twice by identical or different substituents, possible substituents being: cyano, carboxyl, carbamoyl, in each case straight-chain or branched alkoxy, alkoxyalkoxy, alkylthio, alkylsulphanyl, alkylsulphonyl, alkoxycarbonyl, N-alkylaminocarbonyl, N,N-dialkylaminocarbonyl or alkylsulphonylaminocarbonyl having in each case from 1 to 6 carbon atoms in the individual alkyl moieties, or heterocyclyl, the heterocyclyl radical being a five- to seven-membered, optionally benzo-fused, saturated or unsaturated heterocycle having from 1 to 3 identical or different hetero atoms - in particular nitrogen, oxygen and/or sulphur;
- 5
- 10
- 15  $R^{10}$  furthermore represents straight-chain or branched halogenoalkyl having from 1 to 6 carbon atoms and from 1 to 13 identical or different halogen atoms - in particular fluorine, chlorine and/or bromine,
- 20  $R^{10}$  furthermore represents alkenyl or alkinyl having in each case from 2 to 6 carbon atoms, which are in each case optionally substituted once to three times by identical or different halogens - in particular fluorine, chlorine and/or bromine;
- 25  $R^{10}$  furthermore represents cycloalkyl having from 3 to 7 carbon atoms which is optionally substituted once to three times by identical or different substituents comprising halogen - in particular fluorine, chlorine and/or bromine - and/or straight-chain or branched alkyl having from 1 to 3 carbon atoms;
- 30  $R^{10}$  furthermore represents phenylalkyl or phenyl having

optionally from 1 to 3 carbon atoms in the straight-chain or branched alkyl moiety, which are in each case optionally substituted in the phenyl moiety once to five times by identical or different substituents, or represents a saturated or unsaturated, five- to seven-membered heterocyclyl radical having from 1 to 3 identical or different hetero atoms - in particular nitrogen, oxygen and/or sulphur - which is optionally substituted once to three times by identical or different substituents and/or is benzo-fused, possible substituents of phenyl or heterocyclyl being in each case:

fluorine, chlorine, bromine, cyano, nitro, amino, N-acetylamino, in each case straight-chain or branched alkyl, alkoxy, alkylthio, alkylsulphinyl or alkylsulphonyl having in each case from 1 to 4 carbon atoms, in each case straight-chain or branched halogenoalkyl, halogenoalkoxy, halogenoalkylthio, halogenoalkylsulphinyl or halogenoalkylsulphonyl having in each case from 1 to 4 carbon atoms and from 1 to 9 identical or different halogen atoms, in each case straight-chain or branched alkoxy-carbonyl or alkoximinoalkyl having in each case from 1 to 4 carbon atoms in the individual alkyl moieties, and phenyl which is optionally substituted once to five times by identical or different substituents comprising fluorine, chlorine, bromine and/or straight-chain or branched alkyl or alkoxy having in each case from 1 to 4 carbon atoms and/or straight-chain or branched halogenoalkyl or halogenoalkoxy having

- in each case from 1 to 4 carbon atoms and from 1 to 9 identical or different halogen atoms;
- $R^{11}$  represents hydrogen;
- $R^{11}$  furthermore represents straight-chain or branched alkyl having from 1 to 12 carbon atoms which is optionally substituted once or twice by identical or different substituents, possible substituents being: cyano, carboxyl, carbamoyl, in each case straight-chain or branched alkoxy, alkoxyalkoxy, alkylthio, alkylsulphinyl, alkylsulphonyl, alkoxycarbonyl, N-alkylaminocarbonyl, N,N-dialkylaminocarbonyl or alkylsulphonylaminocarbonyl having in each case from 1 to 6 carbon atoms in the individual alkyl moieties, or heterocyclyl, the heterocyclyl radical being a five- to seven-membered, optionally benzo-fused, saturated or unsaturated heterocycle having from 1 to 3 identical or different hetero atoms - in particular nitrogen, oxygen and/or sulphur;
- $R^{11}$  furthermore represents straight-chain or branched halogenoalkyl having from 1 to 6 carbon atoms and from 1 to 13 identical or different halogen atoms - in particular fluorine, chlorine and/or bromine,
- $R^{11}$  furthermore represents alkenyl or alkinyl having in each case from 2 to 6 carbon atoms, which are in each case optionally substituted once to three times by identical or different halogens - in particular fluorine, chlorine and/or bromine;
- $R^{11}$  furthermore represents cycloalkyl having from 3 to 7 carbon atoms which is optionally substituted once to three times by identical or different

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substituents comprising hal gen - in particular  
fluorine, chlorine and/or bromine - and/or straight-  
chain or branched alkyl having from 1 to 3 carbon  
atoms;

5      R<sup>11</sup> furthermore represents phenylalkyl or phenyl having  
optionally from 1 to 3 carbon atoms in the straight-  
chain or branched alkyl moiety, which are in each  
case optionally substituted in the phenyl moiety  
once to five times by identical or different substi-  
10      tuents, possible substituents of phenyl being in  
each case:  
fluorine, chlorine, bromine, cyano, nitro, amino, N-  
acetylamino, in each case straight-chain or branched  
alkyl, alkoxy, alkylthio, alkylsulphinyl or alkyl-  
15      sulphonyl having in each case from 1 to 4 carbon  
atoms, in each case straight-chain or branched  
halogenoalkyl, halogenoalkoxy, halogenoalkylthio,  
halogenoalkylsulphinyl or halogenoalkylsulphonyl  
having in each case from 1 to 4 carbon atoms and  
20      from 1 to 9 identical or different halogen atoms, in  
each case straight-chain or branched alkoxycarbonyl  
or alkoximinoalkyl having in each case from 1 to 4  
carbon atoms in the individual alkyl moieties, and  
phenyl which is optionally substituted once to five  
25      times by identical or different substituents com-  
prising fluorine, chlorine, bromine and/or straight-  
chain or branched alkyl or alkoxy having in each  
case from 1 to 4 carbon atoms and/or straight-chain  
or branched halogenoalkyl or halogenoalkoxy having  
30      in each case from 1 to 4 carbon atoms and from 1 to

- 9 identical or different halogen atoms;
- 5     R<sup>12</sup> represents straight-chain or branched alkyl having from 1 to 12 carbon atoms which is optionally substituted once or twice by identical or different substituents, possible substituents being:
- 10     cycloalkyl having from 3 to 7 carbon atoms or heterocyclyl, the heterocyclyl radical being a five- to seven-membered, optionally benzo-fused, saturated or unsaturated heterocycle having from 1 to 3 identical or different hetero atoms - in particular nitrogen, oxygen and/or sulphur;
- 15     R<sup>12</sup> furthermore represents halogenoalkyl having from 1 to 6 carbon atoms and from 1 to 13 identical or different halogen atoms - in particular fluorine, chlorine and/or bromine,
- 20     R<sup>12</sup> furthermore represents cycloalkyl having from 3 to 7 carbon atoms which is optionally substituted once to three times by identical or different substituents comprising halogen - in particular fluorine, chlorine and/or bromine - and/or straight-chain or branched alkyl having from 1 to 3 carbon atoms;
- 25     R<sup>12</sup> furthermore represents phenylalkyl or phenyl having optionally from 1 to 3 carbon atoms in the straight-chain or branched alkyl moiety, which are in each case optionally substituted in the phenyl moiety once to five times by identical or different substituents, or represents a saturated or unsaturated, five- to seven-membered heterocyclyl radical having from 1 to 3 identical or different hetero atoms - in particular nitrogen, oxygen and/or sulphur - which
- 30



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is optionally substituted once to three times by identical or different substituents and/or is benzo-fused, possible substituents of phenyl or hetero-cyclyl being in each case:

- 5 fluorine, chlorine, bromine, cyano, nitro, amino, N-acetylamino, in each case straight-chain or branched alkyl, alkoxy, alkylthio, alkylsulphanyl or alkylsulphonyl having in each case from 1 to 4 carbon atoms, in each case straight-chain or branched
- 10 halogenoalkyl, halogenoalkoxy, halogenoalkylthio, halogenoalkylsulphanyl or halogenoalkylsulphonyl having in each case from 1 to 4 carbon atoms and from 1 to 9 identical or different halogen atoms, in each case straight-chain or branched alkoxycarbonyl
- 15 or alkoximinoalkyl having in each case from 1 to 4 carbon atoms in the individual alkyl moieties, and phenyl which is optionally substituted once to five times by identical or different substituents comprising fluorine, chlorine, bromine and/or straight-chain or branched alkyl or alkoxy having in each
- 20 case from 1 to 4 carbon atoms and/or straight-chain or branched halogenoalkyl or halogenoalkoxy having in each case from 1 to 4 carbon atoms and from 1 to 9 identical or different halogen atoms and
- 25 n represents a number 0, 1 or 2.

Very particularly preferred compounds of the formula (I) are those in which

R<sup>1</sup> represents hydrogen or represents in each case

- straight-chain or branched alkyl, alkoxy, alkylthio or alkylsulphonyl having in each case from 1 to 4 carbon atoms, or furthermore represents straight-chain or branched halogenoalkyl having from 1 to 4 carbon atoms and from 1 to 9 identical or different halogen atoms - in particular fluorine, chlorine or bromine - or represents cycloalkyl having 3, 5 or 6 carbon atoms,
- 5  $R^1$  represents a radical of the formula  $-NR^6R^7$ ,
- 10  $R^3$ ,  $R^6$  and  $R^7$  independently of one another in each case represent hydrogen, fluorine, chlorine, bromine, amino or nitro,
- $R^4$  represents hydrogen, fluorine, chlorine, bromine, cyano or nitro, or represents one of the radicals
- 15  $-R^{10}$ ,  $-O-R^{10}$ ,  $-S-R^{10}$ ,  $-S(O)-R^{10}$ ,  $-SO_2-R^{10}$ ,  $-SO_2-OR^{10}$ ,  $-SO_2-NR^{11}R^{10}$ ,  $-CO-OR^{10}$ ,  $-CO-NR^{11}R^{10}$ ,  $-O-SO_2-R^{10}$ ,  $-N(R^{11})-SO_2-R^{10}$ ,  $-NR^{11}R^{10}$ ,  $-NH-P(O)(R^{11})(OR^{10})$  or  $-NH-P(O)(OR^{11})(OR^{10})$ ,
- $R^5$  represents nitro, cyano, fluorine, chlorine or bromine, or straight-chain or branched halogenoalkyl
- 20 having from 1 to 3 carbon atoms and from 1 to 7 identical or different halogen atoms, and
- X represents oxygen or sulphur, where
- $R^8$  represents hydrogen, straight-chain or branched alkyl having from 1 to 4 carbon atoms or straight-chain or branched halogenoalkyl having from 1 to 4 carbon atoms and from 1 to 9 identical or different halogen atoms - in particular fluorine, chlorine or bromine - and furthermore represents a radical of
- 25 the formula  $-CO-R^{12}$  or a radical of the formula
- 30

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- S(O)<sub>n</sub>-R<sup>12</sup>,
- 5 R<sup>9</sup> represents straight-chain or branched alkyl having from 1 to 4 carbon atoms or straight-chain or branched halogenoalkyl having from 1 to 4 carbon atoms and from 1 to 9 identical or different halogen atoms - in particular fluorine, chlorine or bromine - or furthermore represents a radical of the formula -CO-R<sup>12</sup> or a radical of the formula -S(O)<sub>n</sub>-R<sup>12</sup>,
- 10 R<sup>10</sup> represents hydrogen;
- R<sup>10</sup> furthermore represents straight-chain or branched alkyl having from 1 to 8 carbon atoms which is optionally substituted once, possible substituents being:
- 15 cyano, carboxyl, carbamoyl, in each case straight-chain or branched alkoxy, alkoxyalkoxy, alkylthio, alkylsulphinyl, alkylsulphonyl, alkoxycarbonyl, N-alkylaminocarbonyl, N,N-dialkylaminocarbonyl or alkylsulphonylaminocarbonyl having in each case from 1 to 4 carbon atoms in the individual alkyl moieties, or heterocyclyl, the heterocyclyl radical being a five- or six-membered, optionally benzo-fused, saturated or aromatic heterocycle having from 1 to 3 identical or different hetero atoms - in particular nitrogen, oxygen and/or sulphur;
- 20 R<sup>10</sup> furthermore represents straight-chain or branched halogenoalkyl having from 1 to 4 carbon atoms and from 1 to 9 identical or different halogen atoms - in particular fluorine, chlorine and/or bromine,
- 25 R<sup>10</sup> furthermore represents alkenyl or alkinyl having in each case from 2 to 6 carbon atoms, which are in
- 30

- each case optionally substituted once to three times by identical or different halogens - in particular fluorine, chlorine and/or bromine;
- 5  $R^{10}$  furthermore represents cycloalkyl having 3, 5 or 6 carbon atoms which is optionally substituted once to three times by identical or different substituents comprising halogen - in particular fluorine, chlorine and/or bromine - and/or straight-chain or branched alkyl having from 1 to 3 carbon atoms;
- 10  $R^{10}$  furthermore represents phenylalkyl or phenyl having optionally from 1 to 3 carbon atoms in the straight-chain or branched alkyl moiety, which are in each case optionally substituted in the phenyl moiety once to three times by identical or different substituents, or represents a saturated or aromatic, 15 five- or six-membered heterocyclyl radical having from 1 to 3 identical or different hetero atoms - in particular nitrogen, oxygen and/or sulphur - which is optionally substituted once to three times by identical or different substituents and/or is benzo- 20 fused, possible substituents of phenyl or heterocyclyl being in each case:
- 25 fluorine, chlorine, bromine, cyano, nitro, amino, N-acetylamino, in each case straight-chain or branched alkyl, alkoxy, alkylthio, alkylsulphinyl or alkylsulphonyl having in each case from 1 to 3 carbon atoms, in each case straight-chain or branched halogenoalkyl, halogenoalkoxy, halogenoalkylthio, 30 haogenoalkylsulphinyl or halogenoalkylsulphonyl having in each case from 1 to 3 carbon atoms and

- from 1 to 7 identical or different halogen atoms, in each case straight-chain or branched alkoxycarbonyl or alkoximinoalkyl having in each case from 1 to 3 carbon atoms in the individual alkyl moieties, and phenyl which is optionally substituted once to three times by identical or different substituents comprising fluorine, chlorine, bromine and/or straight-chain or branched alkyl or alkoxy having in each case from 1 to 3 carbon atoms and/or straight-chain or branched halogenoalkyl or halogenoalkoxy having in each case 1 to 3 carbon atoms and 1 to 7 identical or different halogen atoms;
- 5  $R^{11}$  represents hydrogen;
- 10  $R^{11}$  furthermore represents straight-chain or branched alkyl having from 1 to 8 carbon atoms which is optionally substituted once, possible substituents being:
- 15 cyano, carboxyl, carbamoyl, in each case straight-chain or branched alkoxy, alkoxyalkoxy, alkylthio, alkylsulphinyl, alkylsulphonyl, alkoxycarbonyl, N-alkylaminocarbonyl, N,N-dialkylaminocarbonyl or alkylsulphonylaminocarbonyl having in each case from 1 to 4 carbon atoms in the individual alkyl moieties, or heterocyclyl, the heterocyclyl radical
- 20 being a five- or six-membered, optionally benzo-fused, saturated or aromatic heterocycle having from 1 to 3 identical or different hetero atoms - in particular nitrogen, oxygen and/or sulphur;
- 25  $R^{11}$  furthermore represents straight-chain or branched halogenoalkyl having from 1 to 4 carbon atoms and
- 30

- from 1 to 9 identical or different halogen atoms - in particular fluorine, chlorine and/or bromine,
- 5  $R^{11}$  furthermore represents alkenyl or alkinyl having in each case from 2 to 6 carbon atoms, which are in each case optionally substituted once to three times by identical or different halogens - in particular fluorine, chlorine and/or bromine;
- 10  $R^{11}$  furthermore represents cycloalkyl having 3, 5 or 6 carbon atoms which is optionally substituted once to three times by identical or different substituents comprising halogen - in particular fluorine, chlorine and/or bromine - and/or straight-chain or branched alkyl having from 1 to 3 carbon atoms;
- 15  $R^{11}$  furthermore represents phenylalkyl or phenyl having optionally from 1 to 3 carbon atoms in the straight-chain or branched alkyl moiety, which are in each case optionally substituted in the phenyl moiety once to three times by identical or different substituents, possible substituents of phenyl being
- 20 in each case:  
fluorine, chlorine, bromine, cyano, nitro, amino, N-acetylamino, in each case straight-chain or branched alkyl, alkoxy, alkylthio, alkylsulphinyl or alkylsulphonyl having in each case from 1 to 3 carbon
- 25 atoms, in each case straight-chain or branched halogenoalkyl, halogenoalkoxy, halogenoalkylthio, halogenoalkylsulphinyl or halogenoalkylsulphonyl having in each case from 1 to 3 carbon atoms and from 1 to 7 identical or different halogen atoms, in
- 30 each case straight-chain or branched alkoxycarbonyl

- or alkoximinoalkyl having in each case from 1 to 3 carbon atoms in the individual alkyl moieties, and phenyl which is optionally substituted once to three times by identical or different substituents comprising fluorine, chlorine, bromine and/or straight-chain or branched alkyl or alkoxy having in each case from 1 to 3 carbon atoms and/or straight-chain or branched halogenoalkyl or halogenoalkoxy having in each case 1 to 3 carbon atoms and 1 to 7 identical or different halogen atoms;
- 5  $R^{12}$  represents straight-chain or branched alkyl having from 1 to 4 carbon atoms which is optionally substituted once, possible substituents being:
- 10 cycloalkyl having 3, 5 or 6 carbon atoms or heterocyclyl, the heterocyclyl radical being a five- or six-membered, optionally benzo-fused, saturated or aromatic heterocycle having from 1 to 3 identical or different hetero atoms - in particular nitrogen, oxygen and/or sulphur;
- 15  $R^{12}$  furthermore represents straight-chain or branched halogenoalkyl having from 1 to 4 carbon atoms and from 1 to 9 identical or different halogen atoms - in particular fluorine, chlorine and/or bromine,
- 20  $R^{12}$  furthermore represents cycloalkyl having 3, 5 or 6 carbon atoms which is optionally substituted once to three times by identical or different substituents comprising halogen - in particular fluorine, chlorine and/or bromine - and/or straight-chain or branched alkyl having from 1 to 3 carbon atoms;
- 25  $R^{12}$  furthermore represents phenylalkyl or phenyl having
- 30

optionally from 1 to 3 carbon atoms in the straight-chain or branched alkyl moiety, which are in each case optionally substituted in the phenyl moiety once to three times by identical or different substituents, or represents a saturated or aromatic, five- or six-membered heterocyclyl radical having from 1 to 3 identical or different hetero atoms - in particular nitrogen, oxygen and/or sulphur - which is optionally substituted once to three times by identical or different substituents and/or is benzo-fused, possible substituents of phenyl or heterocyclyl being in each case:

fluorine, chlorine, bromine, cyano, nitro, amino, N-acetylamino, in each case straight-chain or branched alkyl, alkoxy, alkylthio, alkylsulphinyl or alkylsulphonyl having in each case from 1 to 3 carbon atoms, in each case straight-chain or branched halogenoalkyl, halogenoalkoxy, halogenoalkylthio, halogenoalkylsulphinyl or halogenoalkylsulphonyl having in each case from 1 to 3 carbon atoms and from 1 to 7 identical or different halogen atoms, in each case straight-chain or branched alkoxycarbonyl or alkoximinoalkyl having in each case from 1 to 3 carbon atoms in the individual alkyl moieties, and phenyl which is optionally substituted once to three times by identical or different substituents comprising fluorine, chlorine, bromine and/or straight-chain or branched alkyl or alkoxy having in each case from 1 to 3 carbon atoms and/or straight-chain or branched halogenoalkyl or halogenoalkoxy having

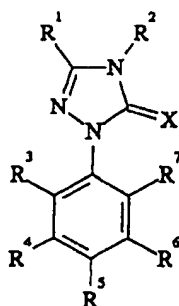


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in each case from 1 to 3 carbon atoms and from 1 to 7 identical or different halogen atoms and n represents a number 0, 1 or 2.

5 Individually, and apart from the compounds listed in the Preparation Examples, the following substituted triazolones of the general formula (I) may be mentioned:

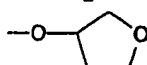
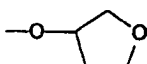
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(1)

R <sup>1</sup>	R <sup>2</sup>	R <sup>3</sup>	R <sup>4</sup>	R <sup>5</sup>	R <sup>6</sup>	R <sup>7</sup>	X
CH <sub>3</sub>	-NH-CH <sub>3</sub>	H	OH	CN	H	F	O
CH <sub>3</sub>	-NH-CH <sub>3</sub>	H	OH	CN	H	Cl	O
CH <sub>3</sub>	-NH-CH <sub>3</sub>	H	OH	NO <sub>2</sub>	H	F	O
CH <sub>3</sub>	-NH-CH <sub>3</sub>	H	OH	NO <sub>2</sub>	H	Cl	O
CH <sub>3</sub>	-NH-CH <sub>3</sub>	H	OH	CF <sub>3</sub>	H	Cl	O
CH <sub>3</sub>	-NH-CH <sub>3</sub>	Cl	OH	NO <sub>2</sub>	H	Cl	O
CH <sub>3</sub>	-NH-CH <sub>3</sub>	NO <sub>2</sub>	OH	CF <sub>3</sub>	H	NO <sub>2</sub>	O
CH <sub>3</sub>	-NH-CH <sub>3</sub>	H	-O-CH <sub>3</sub>	CN	H	F	O
CH <sub>3</sub>	-NH-CH <sub>3</sub>	H	-O-CH <sub>3</sub>	CF <sub>3</sub>	Cl	H	O
CH <sub>3</sub>	-NH-CH <sub>3</sub>	H	-O-CH <sub>3</sub>	NO <sub>2</sub>	H	F	O

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R <sup>1</sup>	R <sup>2</sup>	R <sup>3</sup>	R <sup>4</sup>	R <sup>5</sup>	R <sup>6</sup>	R <sup>7</sup>	X
CH <sub>3</sub>	-NH-CH <sub>3</sub>	H	Cl	CN	H	H	O
CH <sub>3</sub>	-NH-CH <sub>3</sub>	H	-O-CH(CH <sub>3</sub> )-COOC <sub>2</sub> H <sub>5</sub>	CN	H	Cl	O
CH <sub>3</sub>	-NH-CH <sub>3</sub>	H	-O-CH <sub>2</sub> -CN	CN	H	F	O
CH <sub>3</sub>	-NH-CH <sub>3</sub>	H		CN	H	Cl	O
CH <sub>3</sub>	-NH-CH <sub>3</sub>	H		NO <sub>2</sub>	H	F	O
CH <sub>3</sub>	-NH-CH <sub>3</sub>	H	-O-CH <sub>2</sub> -C(Cl)=CH <sub>2</sub>	CN	H	H	O
CH <sub>3</sub>	-NH-CH <sub>3</sub>	H	-O-CH <sub>2</sub> -C(Cl)=CH <sub>2</sub>	CN	H	Cl	O
CH <sub>3</sub>	-NH-CH <sub>3</sub>	F	F	CF <sub>3</sub>	H	H	O
CH <sub>3</sub>	-NH-CH <sub>3</sub>	H	-O-SO <sub>2</sub> -CH <sub>3</sub>	CN	H	F	O
CH <sub>3</sub>	-NH-CH <sub>3</sub>	H	-O-SO <sub>2</sub> -CH <sub>3</sub>	CN	H	Cl	O
CH <sub>3</sub>	-NH-CH <sub>3</sub>	H	-O-SO <sub>2</sub> -CH <sub>3</sub>	NO <sub>2</sub>	H	Cl	O
CH <sub>3</sub>	-NH-CH <sub>3</sub>	H	F	CN	H	H	O
CH <sub>3</sub>	-NH-CH <sub>3</sub>	H	-O-CHF <sub>2</sub>	CN	H	F	O
CH <sub>3</sub>	-NH-CH <sub>3</sub>	H	-O-CHF <sub>2</sub>	CN	H	Cl	O
CH <sub>3</sub>	-NH-CH <sub>3</sub>	H	-O-CHF <sub>2</sub>	NO <sub>2</sub>	H	F	O
CH <sub>3</sub>	-NH-CH <sub>3</sub>	H	-O-CHF <sub>2</sub>	CF <sub>3</sub>	H	F	O
CH <sub>3</sub>	-NH-CH <sub>3</sub>	H	-O-CHF <sub>2</sub>	NO <sub>2</sub>	H	Cl	O
CH <sub>3</sub>	-NH-CH <sub>3</sub>	H	-SCH <sub>3</sub>	NO <sub>2</sub>	H	Cl	O
CH <sub>3</sub>	-NH-CH <sub>3</sub>	H	-SCH <sub>3</sub>	NO <sub>2</sub>	H	F	O

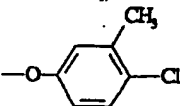



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R <sup>1</sup>	R <sup>2</sup>	R <sup>3</sup>	R <sup>4</sup>	R <sup>5</sup>	R <sup>6</sup>	R <sup>7</sup>	X
CH <sub>3</sub>	-NH-CH <sub>3</sub>	H	-SCH <sub>3</sub>	CN	H	F	O
CH <sub>3</sub>	-NH-CH <sub>3</sub>	H	-SCH <sub>3</sub>	CN	H	H	O
CH <sub>3</sub>	-NH-CH <sub>3</sub>	H	-SCH <sub>3</sub>	CF <sub>3</sub>	H	Cl	O
CH <sub>3</sub>	-NH-CH <sub>3</sub>	Cl	-SCH <sub>3</sub>	CF <sub>3</sub>	H	Cl	O
CH <sub>3</sub>	-NH-CH <sub>3</sub>	H	-NH-CH <sub>3</sub>	CN	H	Cl	O
CH <sub>3</sub>	-NH-CH <sub>3</sub>	H	-NH-CH <sub>3</sub>	CN	H	F	O
CH <sub>3</sub>	-NH-CH <sub>3</sub>	H	-NH-CH <sub>3</sub>	CN	H	H	O
CH <sub>3</sub>	-NH-CH <sub>3</sub>	H	-NH-CH <sub>3</sub>	NO <sub>2</sub>	H	F	O
CH <sub>3</sub>	-NH-CH <sub>3</sub>	Cl	-NH-CH <sub>3</sub>	CF <sub>3</sub>	H	Cl	O
CH <sub>3</sub>	-NH-CH <sub>3</sub>	Cl	-N(CH <sub>3</sub> ) <sub>2</sub>	CF <sub>3</sub>	H	Cl	O
CH <sub>3</sub>	-NH-CH <sub>3</sub>	H	-N(CH <sub>3</sub> ) <sub>2</sub>	CN	H	Cl	O
CH <sub>3</sub>	-NH-CH <sub>3</sub>	H	-N(CH <sub>3</sub> ) <sub>2</sub>	CN	H	F	O
CH <sub>3</sub>	-NH-CH <sub>3</sub>	H	-N(CH <sub>3</sub> ) <sub>2</sub>	NO <sub>2</sub>	H	Cl	O
CH <sub>3</sub>	-NH-CH <sub>3</sub>	H	-NH-CH <sub>2</sub> -CH=CH <sub>2</sub>	NO <sub>2</sub>	H	F	O
CH <sub>3</sub>	-NH-CH <sub>3</sub>	H	-NH-CH <sub>2</sub> -CH=CH <sub>2</sub>	CN	H	Cl	O
CH <sub>3</sub>	-NH-CH <sub>3</sub>	H	-NH-SO <sub>2</sub> -CH <sub>3</sub>	NO <sub>2</sub>	H	Cl	O
CH <sub>3</sub>	-NH-CH <sub>3</sub>	H	-N(CH <sub>3</sub> )-SO <sub>2</sub> -CH <sub>3</sub>	NO <sub>2</sub>	H	Cl	O
CH <sub>3</sub>	-NH-CH <sub>3</sub>	H	-COOC <sub>2</sub> H <sub>5</sub>	CN	H	F	O
CH <sub>3</sub>	-NH-CH <sub>3</sub>	H	-COOCH <sub>3</sub>	CN	H	Cl	O
CH <sub>3</sub>	-NH-CH <sub>3</sub>	H	-CO-NH-CH <sub>3</sub>	CN	H	Cl	O
CH <sub>3</sub>	-NH-CH <sub>3</sub>	H	-CO-N(CH <sub>3</sub> ) <sub>2</sub>	CN	H	F	O
CH <sub>3</sub>	-NH-CH <sub>3</sub>	H	-S(O)-CH <sub>3</sub>	CN	H	F	O





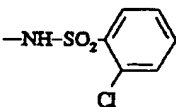

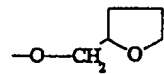

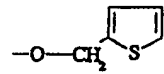

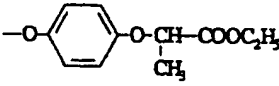

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R <sup>1</sup>	R <sup>2</sup>	R <sup>3</sup>	R <sup>4</sup>	R <sup>5</sup>	R <sup>6</sup>	R <sup>7</sup>	X
CH <sub>3</sub>	-NH-CH <sub>3</sub>	H	-SO <sub>2</sub> -CH <sub>3</sub>	NO <sub>2</sub>	H	F	O
CH <sub>3</sub>	-NH-CH <sub>3</sub>	H	-SO <sub>2</sub> -NH-CH <sub>3</sub>	NO <sub>2</sub>	H	Cl	O
CH <sub>3</sub>	-NH-CH <sub>3</sub>	H	-SO <sub>2</sub> -NH-CH <sub>3</sub>	CN	H	F	O
CH <sub>3</sub>	-NH-CH <sub>3</sub>	H	-SO <sub>2</sub> -O-CH <sub>3</sub>	CN	H	F	O
CH <sub>3</sub>	-NH-CH <sub>3</sub>	H	$\begin{array}{c} \text{O} \\    \\ \text{-NH-P-OCH}_3 \\   \\ \text{CH}_3 \end{array}$	CN	H	F	O
CH <sub>3</sub>	-NH-CH <sub>3</sub>	H	$\begin{array}{c} \text{O} \\    \\ \text{-NH-P(OC}_2\text{H}_5)_2 \end{array}$	CN	H	Cl	O
C <sub>2</sub> H <sub>5</sub>	-NH-CH <sub>3</sub>	Cl	H	CN	H	Cl	O
C <sub>2</sub> H <sub>5</sub>	-NH-CH <sub>3</sub>	NO <sub>2</sub>	H	CF <sub>3</sub>	H	NO <sub>2</sub>	O
C <sub>2</sub> H <sub>5</sub>	-NH-CH <sub>3</sub>	H	CH <sub>3</sub>	NO <sub>2</sub>	H	H	O
C <sub>2</sub> H <sub>5</sub>	-NH-CH <sub>3</sub>	H	C <sub>2</sub> H <sub>5</sub>	NO <sub>2</sub>	H	H	O
C <sub>2</sub> H <sub>5</sub>	-NH-CH <sub>3</sub>	Cl	F	CF <sub>3</sub>	H	Cl	O
C <sub>2</sub> H <sub>5</sub>	-NH-CH <sub>3</sub>	H	Cl	CN	Cl	H	O
C <sub>2</sub> H <sub>5</sub>	-NH-CH <sub>3</sub>	H	-OCH <sub>3</sub>	CN	H	F	O
C <sub>2</sub> H <sub>5</sub>	-NH-C <sub>2</sub> H <sub>5</sub>	H	-OCH <sub>3</sub>	CN	H	F	O
C <sub>2</sub> H <sub>5</sub>	-NH-C <sub>2</sub> H <sub>5</sub>	Cl	F	CF <sub>3</sub>	H	Cl	O
C <sub>2</sub> H <sub>5</sub>	-NH-C <sub>2</sub> H <sub>5</sub>	H	-NH-SO <sub>2</sub> -CH <sub>3</sub>	CN	H	F	O
C <sub>2</sub> H <sub>5</sub>	-NH-C <sub>2</sub> H <sub>5</sub>	H	-O-CH(CH <sub>3</sub> )-C≡CH	CN	H	F	O
C <sub>2</sub> H <sub>5</sub>	-NH-C <sub>2</sub> H <sub>5</sub>	H	-S-C <sub>2</sub> H <sub>5</sub>	CN	H	F	O
n-C <sub>3</sub> H <sub>7</sub>	-NH-CH <sub>3</sub>	NO <sub>2</sub>	H	CF <sub>3</sub>	H	NO <sub>2</sub>	O









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R <sup>1</sup>	R <sup>2</sup>	R <sup>3</sup>	R <sup>4</sup>	R <sup>5</sup>	R <sup>6</sup>	R <sup>7</sup>	X
n-C <sub>3</sub> H <sub>7</sub>	-NH-CH <sub>3</sub>	H	OH	CN	H	Cl	O
n-C <sub>3</sub> H <sub>7</sub>	-NH-CH <sub>3</sub>	H	-OCH <sub>3</sub>	CN	H	F	O
n-C <sub>3</sub> H <sub>7</sub>	-NH-CH <sub>3</sub>	H	-NH-SO <sub>2</sub> -CH <sub>3</sub>	CN	H	Cl	O
n-C <sub>3</sub> H <sub>7</sub>	-NH-CH <sub>3</sub>	H	-O-CH(CH <sub>3</sub> )-C≡CH	CN	H	F	O
n-C <sub>3</sub> H <sub>7</sub>	-NH-CH <sub>3</sub>	H	-S-CH(CH <sub>3</sub> )-COOCH <sub>3</sub>	CN	H	F	O
n-C <sub>3</sub> H <sub>7</sub>	-NH-CH <sub>3</sub>	H	-O-CH <sub>2</sub> -COOCH <sub>3</sub>	CN	H	F	O
n-C <sub>3</sub> H <sub>7</sub>	-NH-CH <sub>3</sub>	H	CH <sub>3</sub>	NO <sub>2</sub>	H	H	O
i-C <sub>3</sub> H <sub>7</sub>	-NH-CH <sub>3</sub>	H	CH <sub>3</sub>	NO <sub>2</sub>	H	H	O
i-C <sub>3</sub> H <sub>7</sub>	-NH-CH <sub>3</sub>	H		CN	H	F	O
i-C <sub>3</sub> H <sub>7</sub>	-NH-CH <sub>3</sub>	H	H	NO <sub>2</sub>	H	H	O
i-C <sub>3</sub> H <sub>7</sub>	-NH-CH <sub>3</sub>	H	-COOC <sub>2</sub> H <sub>5</sub>	CN	H	F	O
i-C <sub>3</sub> H <sub>7</sub>	-NH-CH <sub>3</sub>	H	-CO-NH-CH <sub>3</sub>	CN	H	Cl	O
i-C <sub>3</sub> H <sub>7</sub>	-NH-CH <sub>3</sub>	H	-S-C <sub>2</sub> H <sub>5</sub>	CN	H	F	O
i-C <sub>3</sub> H <sub>7</sub>	-NH-CH <sub>3</sub>	H	-S-(CH <sub>2</sub> ) <sub>2</sub> -OC <sub>2</sub> H <sub>5</sub>	CN	H	F	O
	-NH-CH <sub>3</sub>	NO <sub>2</sub>	H	CF <sub>3</sub>	H	NO <sub>2</sub>	O
	-NH-CH <sub>3</sub>	H	OH	CN	H	F	O
	-NH-CH <sub>3</sub>	H	-OCH <sub>3</sub>	CN	H	F	O

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R <sup>1</sup>	R <sup>2</sup>	R <sup>3</sup>	R <sup>4</sup>	R <sup>5</sup>	R <sup>6</sup>	R <sup>7</sup>	X
	-NH-CH <sub>3</sub>	H	-CO-NH-CH <sub>3</sub>	CN	H	F	O
	-NH-CH <sub>3</sub>	H	-O-SO <sub>2</sub> -CH <sub>3</sub>	CN	H	F	O
	-NH-CH <sub>3</sub>	H	-SO <sub>2</sub> -O-CH <sub>3</sub>	CN	H	F	O
	-NH-CH <sub>3</sub>	H		CN	H	F	O
	-NH-CH <sub>3</sub>	H		CN	H	F	O
	-NH-CH <sub>3</sub>	H		CN	H	F	O
	-NH-CH <sub>3</sub>	H		CN	H	F	O
	-N(CH <sub>3</sub> ) <sub>2</sub>	NO <sub>2</sub>	H	CF <sub>3</sub>	H	NO <sub>2</sub>	O

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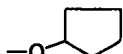
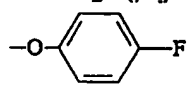
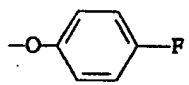
R <sup>1</sup>	R <sup>2</sup>	R <sup>3</sup>	R <sup>4</sup>	R <sup>5</sup>	R <sup>6</sup>	R <sup>7</sup>	X
	-N(CH <sub>3</sub> ) <sub>2</sub>	Cl	H	CF <sub>3</sub>	H	H	O
	-N(CH <sub>3</sub> ) <sub>2</sub>	H	OH	CN	H	Cl	O
	-NH-CH <sub>3</sub>	H	-OCH <sub>3</sub>	CN	H	F	O
	-NH-CH <sub>3</sub>	H	-S-C <sub>2</sub> H <sub>5</sub>	CN	H	F	O
	-NH-CH <sub>3</sub>	H	-N(CH <sub>3</sub> ) <sub>2</sub>	CN	H	F	O
	-NH-CH <sub>3</sub>	H	-O-CH(CH <sub>3</sub> )-COO-C <sub>2</sub> H <sub>5</sub>	CN	H	F	O
	-NH-CH <sub>3</sub>	H	-SO <sub>2</sub> -OCH <sub>3</sub>	CN	H	F	
	-N(CH <sub>3</sub> ) <sub>2</sub>	H	$\text{—NH—}\overset{\text{O}}{\parallel}\text{P(OC}_2\text{H}_5)_2$	CN	H	F	O
CH <sub>3</sub>	-N(CH <sub>3</sub> ) <sub>2</sub>	H	$\text{—NH—}\overset{\text{O}}{\parallel}\text{P—OCH}_3$   CH <sub>3</sub>	CN	H	F	O



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R <sup>1</sup>	R <sup>2</sup>	R <sup>3</sup>	R <sup>4</sup>	R <sup>5</sup>	R <sup>6</sup>	R <sup>7</sup>	X
CH <sub>3</sub>	-N(CH <sub>3</sub> ) <sub>2</sub>	H	OH	CN	H	F	O
CH <sub>3</sub>	-N(CH <sub>3</sub> ) <sub>2</sub>	H	OH	CN	H	Cl	O
CH <sub>3</sub>	-N(CH <sub>3</sub> ) <sub>2</sub>	H	OH	NO <sub>2</sub>	H	Cl	O
CH <sub>3</sub>	-N(CH <sub>3</sub> ) <sub>2</sub>	H	OH	NO <sub>2</sub>	H	F	O
CH <sub>3</sub>	-N(CH <sub>3</sub> ) <sub>2</sub>	H	-NH <sub>2</sub>	CN	H	F	O
CH <sub>3</sub>	-N(CH <sub>3</sub> ) <sub>2</sub>	H	-NH <sub>2</sub>	CN	H	Cl	O
CH <sub>3</sub>	-N(CH <sub>3</sub> ) <sub>2</sub>	H	-OCH <sub>3</sub>	CN	H	F	O
CH <sub>3</sub>	-N(CH <sub>3</sub> ) <sub>2</sub>	H	-OCH <sub>3</sub>	CN	H	F	S
CH <sub>3</sub>	-N(CH <sub>3</sub> ) <sub>2</sub>	H	-S-CH <sub>3</sub>	CN	H	F	O
CH <sub>3</sub>	-N(CH <sub>3</sub> ) <sub>2</sub>	H	-S-CH <sub>3</sub>	CN	H	F	S
CH <sub>3</sub>	-N(CH <sub>3</sub> ) <sub>2</sub>	H	-CO-NH-CH <sub>3</sub>	CN	H	F	O
CH <sub>3</sub>	-N(CH <sub>3</sub> ) <sub>2</sub>	H	-CO-N(CH <sub>3</sub> ) <sub>2</sub>	CN	H	Cl	O
CH <sub>3</sub>	-N(CH <sub>3</sub> ) <sub>2</sub>	H	-NH-SO <sub>2</sub> -CH <sub>3</sub>	CN	H	Cl	S
CH <sub>3</sub>	-N(CH <sub>3</sub> ) <sub>2</sub>	H	-NH-SO <sub>2</sub> -C <sub>2</sub> H <sub>5</sub>	CN	H	F	S
CH <sub>3</sub>	-N(CH <sub>3</sub> ) <sub>2</sub>	H	-OCHF <sub>2</sub>	CN	H	F	O
CH <sub>3</sub>	-N(CH <sub>3</sub> ) <sub>2</sub>	H	-OCHF <sub>2</sub>	CN	H	F	O
CH <sub>3</sub>	-N(CH <sub>3</sub> ) <sub>2</sub>	H	-N(CH <sub>3</sub> ) <sub>2</sub>	CN	H	F	O
CH <sub>3</sub>	-N(CH <sub>3</sub> ) <sub>2</sub>	H	-NH-C <sub>2</sub> H <sub>5</sub>	CN	H	F	O
CH <sub>3</sub>	-N(CH <sub>3</sub> ) <sub>2</sub>	H	-O-CH <sub>2</sub> -C(Cl)=CH <sub>2</sub>	CN	H	F	O
CH <sub>3</sub>	-N(CH <sub>3</sub> ) <sub>2</sub>	H	-O-SO <sub>2</sub> -CH <sub>3</sub>	CN	H	F	O
CH <sub>3</sub>	-N(CH <sub>3</sub> ) <sub>2</sub>	H	-SO <sub>2</sub> -O-CH <sub>3</sub>	CN	H	Cl	O

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R <sup>1</sup>	R <sup>2</sup>	R <sup>3</sup>	R <sup>4</sup>	R <sup>5</sup>	R <sup>6</sup>	R <sup>7</sup>	X
CH <sub>3</sub>	-N(CH <sub>3</sub> ) <sub>2</sub>	H		CN	H	F	O
CH <sub>3</sub>	-N(CH <sub>3</sub> ) <sub>2</sub>	H	-O-CH <sub>2</sub> -C <sub>6</sub> H <sub>5</sub>	CN	H	F	O
CH <sub>3</sub>	-N(CH <sub>3</sub> ) <sub>2</sub>	H		CN	H	F	O
CH <sub>3</sub>	-N(CH <sub>3</sub> ) <sub>2</sub>	H		CN	H	F	O
CH <sub>3</sub>	-N(CH <sub>3</sub> ) <sub>2</sub>	H	-O-CH(CH <sub>3</sub> )-COOCH <sub>3</sub>	CN	H	F	O
CH <sub>3</sub>	-N(CH <sub>3</sub> ) <sub>2</sub>	H	-O-CH(CH <sub>3</sub> )-COOCH <sub>3</sub>	NO <sub>2</sub>	H	F	O
CH <sub>3</sub>	-N(CH <sub>3</sub> ) <sub>2</sub>	Cl	-O-CH(CH <sub>3</sub> )-COOCH <sub>3</sub>	CF <sub>3</sub>	H	Cl	O
CH <sub>3</sub>	-N(CH <sub>3</sub> ) <sub>2</sub>	Cl	-O-CH(CH <sub>3</sub> )-C≡CH	CF <sub>3</sub>	H	Cl	O
CH <sub>3</sub>	-N(CH <sub>3</sub> ) <sub>2</sub>	H	-O-CH(CH <sub>3</sub> )-C≡CH	NO <sub>2</sub>	H	F	O
C <sub>2</sub> H <sub>5</sub>	-N(CH <sub>3</sub> ) <sub>2</sub>	H	-O-CH(CH <sub>3</sub> )-C≡CH	NO <sub>2</sub>	H	F	O
C <sub>2</sub> H <sub>5</sub>	-N(CH <sub>3</sub> ) <sub>2</sub>	H	-O-CH <sub>2</sub> -C≡CH	NO <sub>2</sub>	H	F	O
C <sub>2</sub> H <sub>5</sub>	-N(CH <sub>3</sub> ) <sub>2</sub>	H	-O-CH <sub>2</sub> -C <sub>6</sub> H <sub>5</sub>	CN	H	F	O
C <sub>2</sub> H <sub>5</sub>	-N(CH <sub>3</sub> ) <sub>2</sub>	H	-N(CH <sub>3</sub> ) <sub>2</sub>	CN	H	F	O
C <sub>2</sub> H <sub>5</sub>	-N(CH <sub>3</sub> ) <sub>2</sub>	H	-N(CH <sub>3</sub> ) <sub>2</sub>	NO <sub>2</sub>	H	F	O
C <sub>2</sub> H <sub>5</sub>	-N(CH <sub>3</sub> ) <sub>2</sub>	H	-OCH <sub>3</sub>	NO <sub>2</sub>	H	F	O
C <sub>2</sub> H <sub>5</sub>	-N(CH <sub>3</sub> ) <sub>2</sub>	H	-OCH <sub>3</sub>	CN	H	Cl	O
C <sub>2</sub> H <sub>5</sub>	-N(CH <sub>3</sub> ) <sub>2</sub>	H	OH	CN	H	Cl	O
CH <sub>3</sub>	-N(CH <sub>3</sub> ) <sub>2</sub>	H	SH	CN	H	F	O

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R <sup>1</sup>	R <sup>2</sup>	R <sup>3</sup>	R <sup>4</sup>	R <sup>5</sup>	R <sup>6</sup>	R <sup>7</sup>	X
CH <sub>3</sub>	-N(CH <sub>3</sub> ) <sub>2</sub>	H	SH	CN	H	F	O
i-C <sub>3</sub> H <sub>7</sub>	-N(CH <sub>3</sub> ) <sub>2</sub>	H	SH	CN	H	F	O
i-C <sub>3</sub> H <sub>7</sub>	-N(CH <sub>3</sub> ) <sub>2</sub>	H	OH	CN	H	F	O
i-C <sub>3</sub> H <sub>7</sub>	-N(CH <sub>3</sub> ) <sub>2</sub>	H	OCH <sub>3</sub>	CN	H	F	O
i-C <sub>3</sub> H <sub>7</sub>	-N(CH <sub>3</sub> ) <sub>2</sub>	NO <sub>2</sub>	H	CF <sub>3</sub>	H	NO <sub>2</sub>	O
i-C <sub>3</sub> H <sub>7</sub>	-N(CH <sub>3</sub> ) <sub>2</sub>	Cl	H	CN	H	Cl	O
i-C <sub>3</sub> H <sub>7</sub>	-N(CH <sub>3</sub> ) <sub>2</sub>	H	Cl	CN	Cl	H	O
i-C <sub>3</sub> H <sub>7</sub>	-N(CH <sub>3</sub> ) <sub>2</sub>	H	-S-CH(CH <sub>3</sub> )-COOCH <sub>3</sub>	CN	H	F	O
i-C <sub>3</sub> H <sub>7</sub>	-N(CH <sub>3</sub> ) <sub>2</sub>	H	-O-CH <sub>2</sub> -CN	CN	H	F	O
i-C <sub>3</sub> H <sub>7</sub>	-N(CH <sub>3</sub> ) <sub>2</sub>	H	-O-C(Cl)=CH <sub>2</sub>	CN	H	F	O
i-C <sub>3</sub> H <sub>7</sub>	-N(CH <sub>3</sub> ) <sub>2</sub>	H	-COOCH <sub>3</sub>	CN	H	F	O
i-C <sub>3</sub> H <sub>7</sub>	-N(CH <sub>3</sub> ) <sub>2</sub>	H	-N(CH <sub>3</sub> )-SO <sub>2</sub> -CH <sub>3</sub>	CN	H	F	O
i-C <sub>3</sub> H <sub>7</sub>	-N(CH <sub>3</sub> ) <sub>2</sub>	H	-N(CH <sub>3</sub> )-SO <sub>2</sub> -C <sub>2</sub> H <sub>5</sub>	CN	H	F	O
i-C <sub>3</sub> H <sub>7</sub>	-N(CH <sub>3</sub> ) <sub>2</sub>	H	-CO-NH-CH <sub>3</sub>	CN	H	F	O
i-C <sub>3</sub> H <sub>7</sub>	-N(CH <sub>3</sub> ) <sub>2</sub>	H	-N(C <sub>2</sub> H <sub>5</sub> )-SO <sub>2</sub> -CH <sub>3</sub>	CN	H	Cl	O
CH <sub>3</sub>	-N(CH <sub>3</sub> ) <sub>2</sub>	H	-NH-SO <sub>2</sub> -CH <sub>3</sub>	CN	H	F	O
CH <sub>3</sub>	-N(CH <sub>3</sub> ) <sub>2</sub>	H	-O-CH(CH <sub>3</sub> )-C≡CH	CN	H	F	O
CH <sub>3</sub>	-N(CH <sub>3</sub> ) <sub>2</sub>	H	-O-CH <sub>2</sub> -CH=CH <sub>2</sub>	CN	H	F	O
CH <sub>3</sub>	-N(CH <sub>3</sub> ) <sub>2</sub>	H	-O-CH <sub>2</sub> -C≡CH	CN	H	F	O
CH <sub>3</sub>	-N(CH <sub>3</sub> ) <sub>2</sub>	H	-NH-SO <sub>2</sub> -C <sub>2</sub> H <sub>5</sub>	CN	H	F	O
CH <sub>3</sub>	-N(CH <sub>3</sub> ) <sub>2</sub>	Cl	-NH-SO <sub>2</sub> -CH <sub>3</sub>	NO <sub>2</sub>	H	Cl	O

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R <sup>1</sup>	R <sup>2</sup>	R <sup>3</sup>	R <sup>4</sup>	R <sup>5</sup>	R <sup>6</sup>	R <sup>7</sup>	X
CH <sub>3</sub>	-N(CH <sub>3</sub> ) <sub>2</sub>	H	-NH <sub>2</sub>	CN	H	F	O
CH <sub>3</sub>	-N(CH <sub>3</sub> ) <sub>2</sub>	H	OH	CN	H	F	O
CH <sub>3</sub>	-N(CH <sub>3</sub> ) <sub>2</sub>	H	SH	CN	H	F	O
CH <sub>3</sub>	-N(CH <sub>3</sub> ) <sub>2</sub>	H	SH	CN	H	F	O
CH <sub>3</sub>	-N(CH <sub>3</sub> ) <sub>2</sub>	H	-OCH <sub>3</sub>	CN	H	F	O
CH <sub>3</sub>	-N(CH <sub>3</sub> ) <sub>2</sub>	H	-O-CH(CH <sub>3</sub> )-C≡CH	CN	H	F	O
CH <sub>3</sub>	-N(CH <sub>3</sub> ) <sub>2</sub>	H	-O-CH <sub>2</sub> -CH=CH <sub>2</sub>	CN	H	F	O
CH <sub>3</sub>	-N(CH <sub>3</sub> ) <sub>2</sub>	H	-O-CH <sub>2</sub> -C≡CH	CN	H	Cl	O
CH <sub>3</sub>	-N(CH <sub>3</sub> ) <sub>2</sub>	H	-NH-SO <sub>2</sub> -C <sub>2</sub> H <sub>5</sub>	CN	H	F	O
CH <sub>3</sub>	-N(CH <sub>3</sub> ) <sub>2</sub>	H	-NH-SO <sub>2</sub> -CH <sub>3</sub>	CN	H	F	O
CF <sub>3</sub>	-NH-CH <sub>3</sub>	H	F	CN	H	Cl	S
CF <sub>3</sub>	-NH-CH <sub>3</sub>	H	OH	CN	H	F	O
CF <sub>3</sub>	-NH-CH <sub>3</sub>	H	OH	NO <sub>2</sub>	H	F	O
CF <sub>3</sub>	-NH-CH <sub>3</sub>	H	-OCH <sub>3</sub>	CN	H	F	O
CF <sub>3</sub>	-NH-CH <sub>3</sub>	H	-OCH <sub>3</sub>	NO <sub>2</sub>	H	F	O
CF <sub>3</sub>	-NH-CH <sub>3</sub>	H	-OCH <sub>3</sub>	CN	H	F	O
CF <sub>3</sub>	-NH-CH <sub>3</sub>	H	-O-CH <sub>2</sub> -CN	CN	H	F	O
CF <sub>3</sub>	-NH-CH <sub>3</sub>	H	-COOCH <sub>3</sub>	CN	H	F	O
CF <sub>3</sub>	-NH-CH <sub>3</sub>	H	-COOCH <sub>3</sub>	CN	H	Cl	O
CF <sub>3</sub>	-NH-CH <sub>3</sub>	H	-N(CH <sub>3</sub> )-SO <sub>2</sub> -C <sub>2</sub> H <sub>5</sub>	CN	H	Cl	O

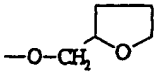
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R <sup>1</sup>	R <sup>2</sup>	R <sup>3</sup>	R <sup>4</sup>	R <sup>5</sup>	R <sup>6</sup>	R <sup>7</sup>	X
CF <sub>3</sub>	-NH-CH <sub>3</sub>	NO <sub>2</sub>	H	CF <sub>3</sub>	H	NO <sub>2</sub>	O
CF <sub>3</sub>	-NH-CH <sub>3</sub>	H	Cl	CN	Cl	H	O
CF <sub>3</sub>	-NH-CH <sub>3</sub>	H	-O-CH <sub>2</sub> -CH=CH <sub>2</sub>	CN	H	F	O
CF <sub>3</sub>	-NH-CH <sub>3</sub>	H	-NH-CH <sub>2</sub> -CH=CH <sub>2</sub>	CN	H	F	O
F <sub>2</sub> CH-	-NH-CH <sub>3</sub>	H	F	CN	H	Cl	O
F <sub>2</sub> CH-	-NH-CH <sub>3</sub>	H	OH	CN	H	F	O
F <sub>2</sub> CH-	-NH-CH <sub>3</sub>	H	-O-CH <sub>2</sub> -CH=CH <sub>2</sub>	CN	H	F	O
F <sub>2</sub> CH-	-NH-CH <sub>3</sub>	H	-O-CH <sub>2</sub> -CH=CH <sub>2</sub>	CN	H	F	S
F <sub>2</sub> CH-	-NH-CH <sub>3</sub>	H	-NH-SO <sub>2</sub> -n-C <sub>4</sub> H <sub>9</sub>	CN	H	F	O
F <sub>2</sub> CH-	-NH-CH <sub>3</sub>	H	-S-C <sub>2</sub> H <sub>5</sub>	CN	H	F	O
F <sub>2</sub> CH-	-N(CH <sub>3</sub> ) <sub>2</sub>	H	-S-C <sub>2</sub> H <sub>5</sub>	CN	H	F	O
F <sub>2</sub> CH-	-N(CH <sub>3</sub> ) <sub>2</sub>	H	-NH-SO <sub>2</sub> -C <sub>2</sub> H <sub>5</sub>	CN	H	Cl	O
F <sub>2</sub> CH-	-N(CH <sub>3</sub> ) <sub>2</sub>	H	CH <sub>3</sub>	NO <sub>2</sub>	H	H	O
F <sub>2</sub> CH-	-N(CH <sub>3</sub> ) <sub>2</sub>	H	-O-CH <sub>2</sub> -C≡CH	NO <sub>2</sub>	H	F	O
F <sub>2</sub> CH-	-N(CH <sub>3</sub> ) <sub>2</sub>	H	-COOC <sub>2</sub> H <sub>5</sub>	CN	H	F	O
F <sub>2</sub> CH-	-N(CH <sub>3</sub> ) <sub>2</sub>	H	-S-CH(CH <sub>3</sub> )-COOCH <sub>3</sub>	CN	H	F	O
CF <sub>3</sub>	-N(CH <sub>3</sub> ) <sub>2</sub>	H	-NH-SO <sub>2</sub> -CH <sub>3</sub>	NO <sub>2</sub>	H	Cl	O
CF <sub>3</sub>	-N(CH <sub>3</sub> ) <sub>2</sub>	H	-O-SO <sub>2</sub> -CH <sub>3</sub>	CN	H	F	O
CF <sub>3</sub>	-N(CH <sub>3</sub> ) <sub>2</sub>	H	-SO <sub>2</sub> -CH <sub>3</sub>	CN	H	F	O

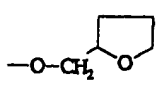
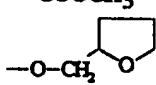
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R <sup>1</sup>	R <sup>2</sup>	R <sup>3</sup>	R <sup>4</sup>	R <sup>5</sup>	R <sup>6</sup>	R <sup>7</sup>	X
CF <sub>3</sub>	-N(CH <sub>3</sub> ) <sub>2</sub>	H	-NH-CH(CH <sub>3</sub> ) <sub>2</sub>	CN	H	Cl	O
CF <sub>3</sub>	-N(CH <sub>3</sub> ) <sub>2</sub>	H	-O-CH <sub>2</sub> -C≡CH	CN	H	F	O
CF <sub>3</sub>	-N(CH <sub>3</sub> ) <sub>2</sub>	H	-COOC <sub>2</sub> H <sub>5</sub>	CN	H	F	O
CF <sub>3</sub>	-N(CH <sub>3</sub> ) <sub>2</sub>	H	-CO-NH-CH <sub>3</sub>	CN	H	F	O
CF <sub>3</sub>	-N(CH <sub>3</sub> ) <sub>2</sub>	H	-O-SO <sub>2</sub> -CH <sub>3</sub>	CN	H	Cl	O
CH <sub>3</sub> -S-	-N(CH <sub>3</sub> ) <sub>2</sub>	H	-CH <sub>3</sub>	NO <sub>2</sub>	H	H	O
CH <sub>3</sub> -S-	-N(CH <sub>3</sub> ) <sub>2</sub>	H	OH	CN	H	F	O
CH <sub>3</sub> -S-	-N(CH <sub>3</sub> ) <sub>2</sub>	H	OH	CN	H	Cl	O
CH <sub>3</sub> -S-	-N(CH <sub>3</sub> ) <sub>2</sub>	H	-S-C <sub>2</sub> H <sub>5</sub>	CN	H	F	O
CH <sub>3</sub> -S-	-N(CH <sub>3</sub> ) <sub>2</sub>	H	-O-CH <sub>2</sub> -C≡CH	NO <sub>2</sub>	H	F	O
CH <sub>3</sub> -S-	-N(CH <sub>3</sub> ) <sub>2</sub>	H	-COOCH <sub>3</sub>	CN	H	F	O
CH <sub>3</sub> -S-	-N(CH <sub>3</sub> ) <sub>2</sub>	H	-NH-CH(CH <sub>3</sub> ) <sub>2</sub>	CN	H	F	O
CH <sub>3</sub> -S-	-N(CH <sub>3</sub> ) <sub>2</sub>	H	-N(CH <sub>3</sub> )-SO <sub>2</sub> -CH <sub>3</sub>	CN	H	F	O
CH <sub>3</sub> -S-	-NH-CH <sub>3</sub>	H	-S-C <sub>2</sub> H <sub>5</sub>	CN	H	F	O
CH <sub>3</sub> -S-	-NH-CH <sub>3</sub>	H	-NH-CH <sub>2</sub> -CH=CH <sub>2</sub>	CN	H	F	O
CH <sub>3</sub> -S-	-NH-CH <sub>3</sub>	H	-O-CH <sub>2</sub> -CN	CN	H	F	O
CH <sub>3</sub> -S-	-NH-CH <sub>3</sub>	H	-O-SO <sub>2</sub> -CH <sub>3</sub>	CN	H	F	O

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R <sup>1</sup>	R <sup>2</sup>	R <sup>3</sup>	R <sup>4</sup>	R <sup>5</sup>	R <sup>6</sup>	R <sup>7</sup>	X
CH <sub>3</sub> -S-	-NH-CH <sub>3</sub>	H	-O-CH(CH <sub>3</sub> )-COOCH <sub>3</sub>	CN	H	F	O
CH <sub>3</sub> -S-	-NH-CH <sub>3</sub>	H	-O-CH <sub>2</sub> -C <sub>6</sub> H <sub>5</sub>	CN	H	F	O
CH <sub>3</sub> -S-	-NH-CH <sub>3</sub>	H	-O-CH <sub>2</sub> -C <sub>6</sub> H <sub>5</sub>	NO <sub>2</sub>	H	F	O
CH <sub>3</sub> -S-	-NH-CH <sub>3</sub>	H	-COOCH <sub>3</sub>	CN	H	Cl	O
CH <sub>3</sub> -S-	-NH-CH <sub>3</sub>	H		CN	H	F	O
CH <sub>3</sub> -S(O)-	-N(CH <sub>3</sub> ) <sub>2</sub>	H	-CH <sub>3</sub>	NO <sub>2</sub>	H	H	O
CH <sub>3</sub> -S(O)-	-N(CH <sub>3</sub> ) <sub>2</sub>	H	OH	CN	H	F	O
CH <sub>3</sub> -S(O)-	-N(CH <sub>3</sub> ) <sub>2</sub>	H	OH	CN	H	Cl	O
CH <sub>3</sub> -S(O)-	-N(CH <sub>3</sub> ) <sub>2</sub>	H	-S-C <sub>2</sub> H <sub>5</sub>	CN	H	F	O
CH <sub>3</sub> -S(O)-	-N(CH <sub>3</sub> ) <sub>2</sub>	H	-O-CH <sub>2</sub> -C≡CH	NO <sub>2</sub>	H	F	O
CH <sub>3</sub> -S(O)-	-N(CH <sub>3</sub> ) <sub>2</sub>	H	-COOCH <sub>3</sub>	CN	H	F	O
CH <sub>3</sub> -S(O)-	-N(CH <sub>3</sub> ) <sub>2</sub>	H	-NH-CH(CH <sub>3</sub> ) <sub>2</sub>	CN	H	F	O
CH <sub>3</sub> -S(O)-	-N(CH <sub>3</sub> ) <sub>2</sub>	H	-N(CH <sub>3</sub> )-SO <sub>2</sub> -CH <sub>3</sub>	CN	H	F	O
CH <sub>3</sub> -S(O)-	-NH-CH <sub>3</sub>	H	-S-C <sub>2</sub> H <sub>5</sub>	CN	H	F	O
CH <sub>3</sub> -S(O)-	-NH-CH <sub>3</sub>	H	-NH-CH <sub>2</sub> -CH=CH <sub>2</sub>	CN	H	F	O
CH <sub>3</sub> -S(O)-	-NH-CH <sub>3</sub>	H	-O-CH <sub>2</sub> -CN	CN	H	F	O
CH <sub>3</sub> -S(O)-	-NH-CH <sub>3</sub>	H	-O-SO <sub>2</sub> -CH <sub>3</sub>	CN	H	F	O
CH <sub>3</sub> -S(O)-	-NH-CH <sub>3</sub>	H	-O-CH(CH <sub>3</sub> )-COOCH <sub>3</sub>	CN	H	F	O
CH <sub>3</sub> -S(O)-	-NH-CH <sub>3</sub>	H	-O-CH <sub>2</sub> -C <sub>6</sub> H <sub>5</sub>	CN	H	F	O
CH <sub>3</sub> -S(O)-	-NH-CH <sub>3</sub>	H	-O-CH <sub>2</sub> -C <sub>6</sub> H <sub>5</sub>	NO <sub>2</sub>	H	F	O
CH <sub>3</sub> -S(O)-	-NH-CH <sub>3</sub>	H	-COOCH <sub>3</sub>	CN	H	Cl	O

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R <sup>1</sup>	R <sup>2</sup>	R <sup>3</sup>	R <sup>4</sup>	R <sup>5</sup>	R <sup>6</sup>	R <sup>7</sup>	X
CH <sub>3</sub> -S(O)-	-NH-CH <sub>3</sub>	H		CN	H	F	O
CH <sub>3</sub> -SO <sub>2</sub> -	-N(CH <sub>3</sub> ) <sub>2</sub>	H	-CH <sub>3</sub>	NO <sub>2</sub>	H	H	O
CH <sub>3</sub> -SO <sub>2</sub> -	-N(CH <sub>3</sub> ) <sub>2</sub>	H	OH	CN	H	F	O
CH <sub>3</sub> -SO <sub>2</sub> -	-N(CH <sub>3</sub> ) <sub>2</sub>	H	OH	CN	H	Cl	O
CH <sub>3</sub> -SO <sub>2</sub> -	-N(CH <sub>3</sub> ) <sub>2</sub>	H	-S-C <sub>2</sub> H <sub>5</sub>	CN	H	F	O
CH <sub>3</sub> -SO <sub>2</sub> -	-N(CH <sub>3</sub> ) <sub>2</sub>	H	-O-CH <sub>2</sub> -C≡CH	NO <sub>2</sub>	H	F	O
CH <sub>3</sub> -SO <sub>2</sub> -	-N(CH <sub>3</sub> ) <sub>2</sub>	H	-COOCH <sub>3</sub>	CN	H	F	O
CH <sub>3</sub> -SO <sub>2</sub> -	-N(CH <sub>3</sub> ) <sub>2</sub>	H	-NH-CH(CH <sub>3</sub> ) <sub>2</sub>	CN	H	F	O
CH <sub>3</sub> -SO <sub>2</sub> -	-N(CH <sub>3</sub> ) <sub>2</sub>	H	-N(CH <sub>3</sub> )-SO <sub>2</sub> -CH <sub>3</sub>	CN	H	F	O
CH <sub>3</sub> -SO <sub>2</sub> -	-NH-CH <sub>3</sub>	H	-S-C <sub>2</sub> H <sub>5</sub>	CN	H	F	O
CH <sub>3</sub> -SO <sub>2</sub> -	-NH-CH <sub>3</sub>	H	-NH-CH <sub>2</sub> -CH=CH <sub>2</sub>	CN	H	F	O
CH <sub>3</sub> -SO <sub>2</sub> -	-NH-CH <sub>3</sub>	H	-O-CH <sub>2</sub> -CN	CN	H	F	O
CH <sub>3</sub> -SO <sub>2</sub> -	-NH-CH <sub>3</sub>	H	-O-SO <sub>2</sub> -CH <sub>3</sub>	CN	H	F	O
CH <sub>3</sub> -SO <sub>2</sub> -	-NH-CH <sub>3</sub>	H	-O-CH(CH <sub>3</sub> )-COOCH <sub>3</sub>	CN	H	F	O
CH <sub>3</sub> -SO <sub>2</sub> -	-NH-CH <sub>3</sub>	H	-O-CH <sub>2</sub> -C <sub>6</sub> H <sub>5</sub>	CN	H	F	O
CH <sub>3</sub> -SO <sub>2</sub> -	-NH-CH <sub>3</sub>	H	-O-CH <sub>2</sub> -C <sub>6</sub> H <sub>5</sub>	NO <sub>2</sub>	H	F	O
CH <sub>3</sub> -SO <sub>2</sub> -	-NH-CH <sub>3</sub>	H	-COOCH <sub>3</sub>	CN	H	Cl	O
CH <sub>3</sub> -SO <sub>2</sub> -	-NH-CH <sub>3</sub>	H		CN	H	F	O



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R <sup>1</sup>	R <sup>2</sup>	R <sup>3</sup>	R <sup>4</sup>	R <sup>5</sup>	R <sup>6</sup>	R <sup>7</sup>	X
CH <sub>3</sub> O	-N(CH <sub>3</sub> ) <sub>2</sub>	NO <sub>2</sub>	H	CF <sub>3</sub>	H	NO <sub>2</sub>	O
CH <sub>3</sub> O	-N(CH <sub>3</sub> ) <sub>2</sub>	H	F	CN	H	H	O
CH <sub>3</sub> O	-N(CH <sub>3</sub> ) <sub>2</sub>	H	Cl	CN	Cl	H	O
CH <sub>3</sub> O	-N(CH <sub>3</sub> ) <sub>2</sub>	H	-OCH <sub>3</sub>	CN	H	F	O
CH <sub>3</sub> O	-N(CH <sub>3</sub> ) <sub>2</sub>	H	-S-C <sub>2</sub> H <sub>5</sub>	CN	H	F	O
CH <sub>3</sub> O	-N(CH <sub>3</sub> ) <sub>2</sub>	H	-O-CH <sub>2</sub> -CH=CH <sub>2</sub>	CN	H	Cl	O
CH <sub>3</sub> O	-N(CH <sub>3</sub> ) <sub>2</sub>	H	NH <sub>2</sub>	CN	H	F	O
CH <sub>3</sub> O	-N(CH <sub>3</sub> ) <sub>2</sub>	H	-N(CH <sub>3</sub> )-SO <sub>2</sub> -CH <sub>3</sub>	CN	H	F	O
CH <sub>3</sub> O	-N(CH <sub>3</sub> ) <sub>2</sub>	H	-COOCH <sub>3</sub>	CN	H	F	O
CH <sub>3</sub> O	-N(CH <sub>3</sub> ) <sub>2</sub>	Cl	H	NO <sub>2</sub>	H	Cl	O
CH <sub>3</sub> O	-N(CH <sub>3</sub> ) <sub>2</sub>	H	-S-CH(CH <sub>3</sub> )-COOCH <sub>3</sub>	CN	H	Cl	O
CH <sub>3</sub> O	-N(CH <sub>3</sub> ) <sub>2</sub>	H	-O-CH(Cl)=CH <sub>2</sub>	CN	H	F	O
CH <sub>3</sub> O	-NH-CH <sub>3</sub>	H	OH	CN	H	F	O
CH <sub>3</sub> O	-NH-CH <sub>3</sub>	H	OH	NO <sub>2</sub>	H	F	O
CH <sub>3</sub> O	-NH-CH <sub>3</sub>	H	-OCH <sub>3</sub>	NO <sub>2</sub>	H	F	O
CH <sub>3</sub> O	-NH-CH <sub>3</sub>	H	-OCH <sub>3</sub>	CN	H	Cl	O
CH <sub>3</sub> O	-NH-CH <sub>3</sub>	H	-SCH <sub>3</sub>	CN	H	Cl	O
CH <sub>3</sub> O	-NH-CH <sub>3</sub>	H	-O-CH(CH <sub>3</sub> )-C≡CH	CN	H	F	O
CH <sub>3</sub> O	-NH-CH <sub>3</sub>	H	-O-CH(CH <sub>3</sub> )-C≡CH	CN	H	F	S
CH <sub>3</sub> O	-NH-CH <sub>3</sub>	H	-O-CH <sub>2</sub> -CH=CH <sub>2</sub>	CN	H	F	O

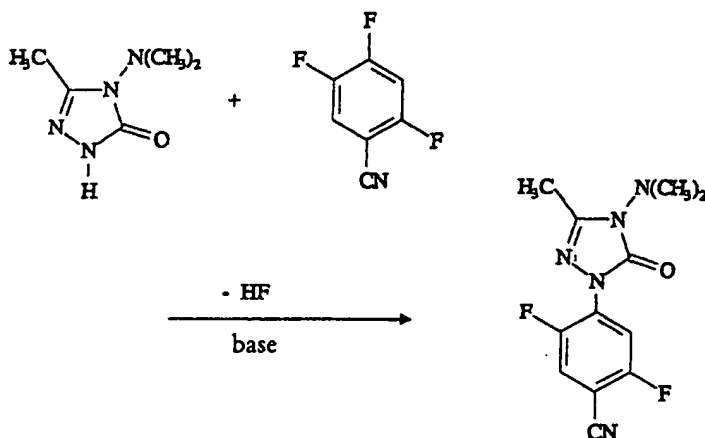
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R <sup>1</sup>	R <sup>2</sup>	R <sup>3</sup>	R <sup>4</sup>	R <sup>5</sup>	R <sup>6</sup>	R <sup>7</sup>	X
CH <sub>3</sub> O	-NH-CH <sub>3</sub>	H	-NH-CH <sub>2</sub> -CH=CH <sub>2</sub>	CN	H	F	O
CH <sub>3</sub> O	-NH-CH <sub>3</sub>	H	-NH-CH <sub>2</sub> -CH=CH <sub>2</sub>	NO <sub>2</sub>	H	F	O
CH <sub>3</sub> O	-NH-CH <sub>3</sub>	H	-NH-SO <sub>2</sub> -C <sub>2</sub> H <sub>5</sub>	NO <sub>2</sub>	H	F	O
CH <sub>3</sub> O	-NH-CH <sub>3</sub>	H	-NH-SO <sub>2</sub> -C <sub>2</sub> H <sub>5</sub>	CN	H	Cl	O
CH <sub>3</sub> O	-NH-CH <sub>3</sub>	H	-NH-SO <sub>2</sub> -C <sub>2</sub> H <sub>5</sub>	CN	H	F	O
CH <sub>3</sub> O	-NH-CH <sub>3</sub>	H	-NH-SO <sub>2</sub> -C <sub>2</sub> H <sub>5</sub>	CN	H	F	S
CH <sub>3</sub>	-NH-CF <sub>3</sub>	H	F	CN	H	H	O
CH <sub>3</sub>	-NH-CF <sub>3</sub>	H	-OCH <sub>3</sub>	CN	H	F	O
CH <sub>3</sub>	-NH-CF <sub>3</sub>	H	-S-C <sub>2</sub> H <sub>5</sub>	CN	H	F	O
CH <sub>3</sub>	-NH-CF <sub>3</sub>	H	-O-CH(CH <sub>3</sub> )-C≡CH	CN	H	F	O
CH <sub>3</sub>	-NH-CF <sub>3</sub>	H	-NH-SO <sub>2</sub> -C <sub>2</sub> H <sub>5</sub>	CN	H	F	O
CH <sub>3</sub>	-NH-CF <sub>3</sub>	H	-NH-SO <sub>2</sub> -C <sub>2</sub> H <sub>5</sub>	CN	H	F	O
CH <sub>3</sub>	-NH-CF <sub>3</sub>	H	-NH-SO <sub>2</sub> -C <sub>2</sub> H <sub>5</sub>	CN	H	Cl	O
CH <sub>3</sub>	-NH-CF <sub>3</sub>	H	-NH-SO <sub>2</sub> -C <sub>2</sub> H <sub>5</sub>	CN	H	F	O
CH <sub>3</sub>	-NH-CF <sub>3</sub>	H	-COOCH <sub>3</sub>	CN	H	F	O
CH <sub>3</sub>	-NH-CF <sub>3</sub>	H	-NH-CH <sub>3</sub>	CN	H	F	O
CH <sub>3</sub>	-NH-CF <sub>3</sub>	H	OH	CN	H	F	O
H	-N(CH <sub>3</sub> ) <sub>2</sub>	H	F	CN	H	F	O
H	-N(CH <sub>3</sub> ) <sub>2</sub>	H	OH	CN	H	F	O
H	-N(CH <sub>3</sub> ) <sub>2</sub>	H	-O-CH(CH <sub>3</sub> )-C≡CH	CN	H	F	O
H	-N(CH <sub>3</sub> ) <sub>2</sub>	H	-NH-SO <sub>2</sub> -CH <sub>3</sub>	CN	H	F	O

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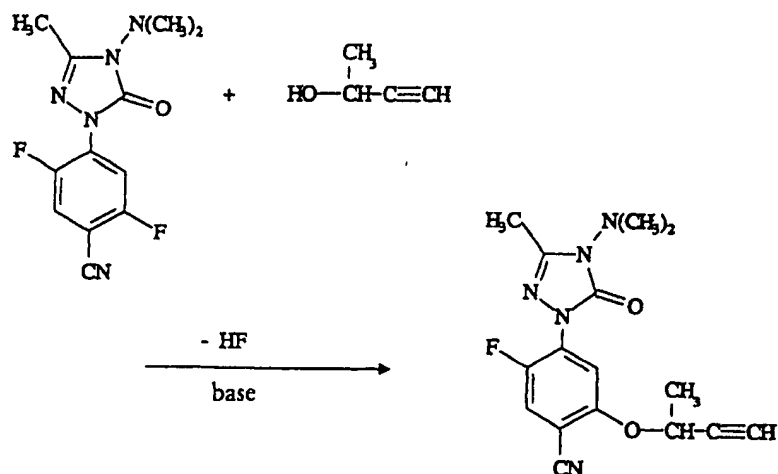
R <sup>1</sup>	R <sup>2</sup>	R <sup>3</sup>	R <sup>4</sup>	R <sup>5</sup>	R <sup>6</sup>	R <sup>7</sup>	X
H	-N(CH <sub>3</sub> ) <sub>2</sub>	H	-N(CH <sub>3</sub> ) <sub>2</sub>	CN	H	F	O
H	-N(CH <sub>3</sub> ) <sub>2</sub>	H	-N(CH <sub>3</sub> )SO <sub>2</sub> -C <sub>2</sub> H <sub>5</sub>	CN	H	F	O
H	-N(CH <sub>3</sub> ) <sub>2</sub>	H	-NH-SO <sub>2</sub> -C <sub>2</sub> H <sub>5</sub>	CN	H	Cl	O
H	-N(CH <sub>3</sub> ) <sub>2</sub>	Cl	F	CF <sub>3</sub>	H	Cl	O
H	-NH-CH <sub>3</sub>	H	-O-CH(CH <sub>3</sub> )-C≡CH	CN	H	F	O
H	-NH-CH <sub>3</sub>	H	-NH-SO <sub>2</sub> -C <sub>2</sub> H <sub>5</sub>	CN	H	F	O
H	-NH-CH <sub>3</sub>	H	-O-CH(CH <sub>3</sub> ) <sub>2</sub>	CN	H	F	O
H	-NH-CH <sub>3</sub>	H	-O-CH(CH <sub>3</sub> )-CH <sub>2</sub> -OCH <sub>3</sub>	CN	H	F	O
H	-NH-CH <sub>3</sub>	H	-S-C <sub>2</sub> H <sub>5</sub>	CN	H	F	O
H	-NH-CH <sub>3</sub>	H	-O-(CH <sub>2</sub> ) <sub>2</sub> -NH-CH <sub>3</sub>	CN	H	F	O
H	-NH-CH <sub>3</sub>	H	OH	CN	H	F	O
H	-NH-CH <sub>3</sub>	H	-O-CH <sub>3</sub>	CN	H	F	O
H	-NH-CH <sub>3</sub>	H	SH	CN	H	F	O
H	-NH-CH <sub>3</sub>	H	-S-C <sub>2</sub> H <sub>5</sub>	CN	H	F	O
H	-NH-CH <sub>3</sub>	H	-NH-SO <sub>2</sub> -CH <sub>3</sub>	CN	H	F	O
H	-NH-CH <sub>3</sub>	H	-O-CH <sub>2</sub> -C≡CH	CN	H	F	O
H	-N(CH <sub>3</sub> ) <sub>2</sub>	H	OH	CN	H	F	O
H	-N(CH <sub>3</sub> ) <sub>2</sub>	H	-O-C <sub>2</sub> H <sub>5</sub>	CN	H	Cl	O
H	-NH-CH <sub>3</sub>	H	-S-C <sub>2</sub> H <sub>5</sub>	CN	H	Cl	O
H	-N(CH <sub>3</sub> ) <sub>2</sub>	H	-NH-SO <sub>2</sub> -CH <sub>3</sub>	CN	H	Cl	O
H	-N(CH <sub>3</sub> ) <sub>2</sub>	H	-O-CH <sub>2</sub> -C≡CH	CN	H	F	O

Using, for example, 3-methyl-4-dimethylamino-1,2,4-triazolin-5-one and 2,4,5-trifluorobenzonitrile as starting materials, the sequence of reaction of process (a) according to the invention can be represented by the following formula scheme:

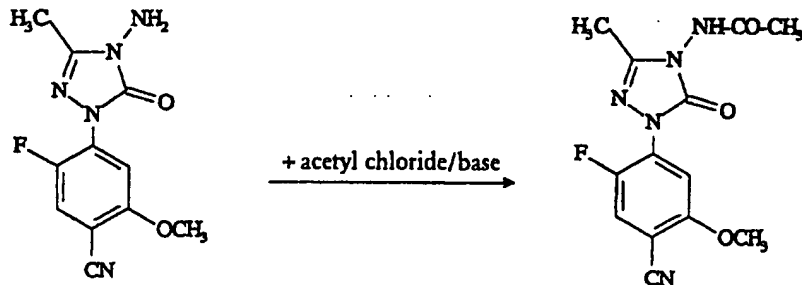


Using, for example, 1-(4-cyano-2,5-difluorophenyl)-3-methyl-4-dimethylamino-1,2,4-triazolin-5-one and 1-butyn-3-ol as starting materials, the sequence of reaction of process (b) according to the invention can be represented by the following formula scheme:

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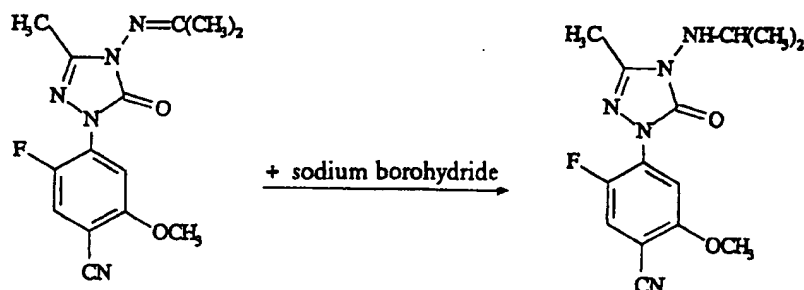


Using, for example, 1-(4-cyano-2-fluoro-5-methoxyphenyl)-4-amino-3-methyl-1,2,4-triazolin-5-one as the starting compound and acetyl chloride as the acylating agent, the sequence of reaction of process (c) according to the invention can be represented by the following formula scheme:



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Using, for example, 1-(4-cyano-2-fluoro-5-methoxy-phenyl)-3-methyl-4-isopropylideneimino-1,2,4-triazolin-5-one as the starting compound and sodium borohydride as the reducing agent, the sequence of reaction of process (d) according to the invention can be represented by the following formula scheme:



A general definition of the 1H-triazolinones required as starting materials for carrying out process (a) according to the invention is given by the formula (II). In this formula (II), R<sup>1</sup>, R<sup>2</sup> and X preferably and particularly preferably represent those radicals which have already been mentioned as preferred and particularly preferred for these substituents in connection with the description of the compounds of the formula (I) according to the invention.

The 1H-triazolinones of the formula (II) are known or are obtainable by analogy with known processes (cf. e.g. *Chimica Acta Turcica* 9, 381 [1981]; EP 399 294; EP 422 469; *J. Heterocycl. Chem.* 10, 387-390 [1973]; *Indian J. Chem.* 7, 959-963 [1969]; DE 37 19 575; DE 38 03 523; *Liebigs Ann. Chem.* 637, 135 [1960]; *J. Heterocycl. Chem.*

16, 403 [1979]; J. Heterocycl. Chem. 17, 1691 [1980]; J. Indian Chem. Soc. 57, 270-272 [1980]; Indian J. Chem. Sect. B 22B, 270-271 [1983]; Chem. Ber. 98, 3025 [1965]; JP 52-125168; Europ. J. Med. Chem. 18, 215 [1983]).

- 5 A general definition of the halogenobenzene derivatives  
furthermore required as starting materials for carrying  
out process (a) according to the invention is given by  
the formula (III). In this formula (III), R<sup>3</sup>, R<sup>4</sup>, R<sup>5</sup>, R<sup>6</sup>  
and R<sup>7</sup> preferably and particularly preferably represent  
10 those radicals which have already been mentioned as  
preferred and particularly preferred for these substitu-  
ents in connection with the description of the compounds  
of the formula (I) according to the invention. Hal<sup>1</sup>  
represents preferably fluorine, chlorine or bromine, in  
15 particular fluorine or chlorine.
- The halogenobenzene derivatives of the formula (III) are  
generally known or are obtainable by analogy with known  
processes (cf. e.g. EP 191 181; EP 441 004; EP 431 373).  
The compound 5-chloro-2,4-difluorobenzonitrile is not  
20 already known. It is obtained by reacting the known  
compound 2,4,5-trichlorobenzonitrile (cf. e.g. EP  
441 004) with potassium fluoride, optionally in the  
presence of a diluent such as, for example, tetramethyl-  
ene sulphone at temperatures of between 100°C and 200°C  
25 (compare also in this respect the Preparation Examples).

A general definition of the substituted triazolinones  
required as starting materials for carrying out process  
(b) according to the invention is given by the formula

(Ia). In this formula (Ia),  $R^1$ ,  $R^2$ ,  $R^3$ ,  $R^4$ ,  $R^5$ ,  $R^7$  and X preferably and particularly preferably represent those radicals which have already been mentioned as being preferred and particularly preferred for these substituents in connection with the description of the substances of the formula (I) according to the invention. Hal<sup>2</sup> represents preferably fluorine, chlorine or bromine, in particular fluorine or chlorine.

The substituted triazolinones of the formula (Ia) compounds according to the invention and obtainable by means of processes (a), (c) and/or (d) according to the invention.

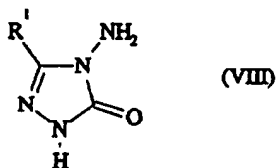
A general definition of the nucleophiles furthermore required as starting materials for carrying out process (b) according to the invention is given by the formula (IV). In this formula (IV),  $R^{13}$  preferably represents a radical of the formula  $-O-R^{10}$ ,  $-S-R^{10}$  or  $-NR^{11}R^{10}$ , where  $R^{10}$  and  $R^{11}$  preferably represent those radicals which have already been mentioned as being preferred and particularly preferred for these substituents in connection with the description of the substances of the formula (I) according to the invention. The nucleophiles of the formula (IV) are generally known compounds of organic chemistry.

A general definition of the substituted triazolinones required as starting materials for carrying out process (c) according to the invention is given by the formula (V). In this formula (V),  $R^1$ ,  $R^3$ ,  $R^4$ ,  $R^5$ ,  $R^6$ ,  $R^7$  and X



preferably and particularly preferably represent those radicals which have already been mentioned as being preferred and particularly preferred for these substituents in connection with the description of the substances of the formula (I) according to the invention.

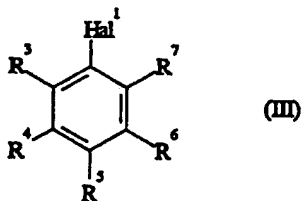
Substituted triazolinones of the formula (V) are not already known. They are, however, to a large extent the subject of the Applicant's as yet unpublished patent applications and are obtainable by means of the processes described therein, for example by reacting 4-amino-1H-triazolinones of the formula (VIII),



in which

$R^1$  has the meaning given above,

with halogenobenzene derivatives of the formula (III),



15 in which

R<sup>3</sup>, R<sup>4</sup>, R<sup>5</sup>, R<sup>6</sup> and R<sup>7</sup> have the meaning given above and Hal<sup>1</sup> represents halogen,

optionally in the presence of a diluent and optionally in the presence of a reaction auxiliary, in analogy to the implementation of process (a) according to the invention.

4-Amino-1H-triazolinones of the formula (VIII) are known or are obtainable by analogy with known processes (cf. e.g. EP 294 666; J. Heterocycl. Chem. 10, 387-390 [1973]; Indian J. Chem. 7, 959-963 [1969]; DE 37 19 575; DE 38 03 523; Liebigs Ann. Chem. 637, 135 [1960]; J. Heterocycl. Chem. 16, 403 [1979]; J. Heterocycl. Chem. 17, 1691 [1980]; J. Indian Chem. Soc. 57, 270-272 [1980]; Indian J. Chem. Sect. B 22B, 270-271 [1983]; Chem. Ber. 98, 3025 [1965]; JP 52-125168; Europ. J. Med. Chem. 18, 215 [1983]).

A general definition of the alkylating, acylating and sulphonylating agents furthermore required as starting materials for carrying out process (c) according to the invention is given by the formula (VI). In this formula (VI), R<sup>9</sup> represents preferably and particularly preferably those radicals which have already been mentioned as preferred and particularly preferred for these substituents in connection with the description of the substances of the formula (I) according to the invention. E represents a conventional electron-attracting leaving radical such as, for example, halogen, in particular chlorine, bromine or iodine or, in the case of the alkylating

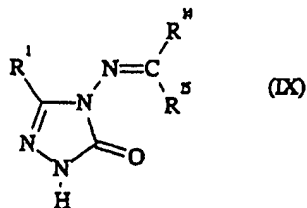
agents, represents in each case opti nally substituted alkylsulphonyloxy, alkoxysulphonyl xy or arylsulphonyloxy, such as, in particular, methanesulphonyloxy, trifluoromethanesulphonyloxy, methoxysulphonyloxy, ethoxysulphonyloxy or p-toluenesulphonyloxy.

The alkylating, acylating and sulphonylating agents of the formula (VI) are generally known compounds of organic chemistry.

A general definition of the substituted 4-alkylideneimino-triazolinones required as starting materials for carrying out process (d) according to the invention is given by the formula (VII). In this formula (VII),  $R^1$ ,  $R^3$ ,  $R^4$ ,  $R^5$ ,  $R^6$ ,  $R^7$  and X preferably and particularly preferably represent those radicals which have already been mentioned as being preferred and particularly preferred for these substituents in connection with the description of the substances of the formula (I) according to the invention.  $R^{14}$  represents preferably hydrogen or straight-chain or branched alkyl having from 1 to 4 carbon atoms, in particular hydrogen, methyl or ethyl.  $R^{15}$  represents preferably in each case straight-chain or branched alkyl or alkoxy having in each case from 1 to 4 carbon atoms, in particular methyl, ethyl, methoxy or ethoxy.

The 4-alkylideneimino-triazolinones of the formula (VII) are not already known. They are, however, to a large extent the subject of the Applicant's as yet unpublished patent applications and are obtainable by means of the processes described therein, for example by reacting

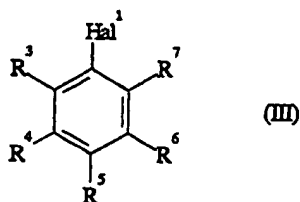
4-alkylideneimino-1H-triazolinones of the formula (IX),



in which

R¹, R² and R³ have the meaning given above,

with halogenobenzene derivatives of the formula (III),



5 in which

R⁴, R⁵, R⁶ and R⁷ have the meaning given above and Hal¹ represents halogen,

optionally in the presence of a diluent and optionally in the presence of a reaction auxiliary, in analogy to the implementation of process (a) according to the invention.

4-Alkylidenimino-1H-triazolinones of the formula (IX) are known or are obtainable by analogy with known processes

(cf. e.g. EP 294 666; EP 399 294).

Suitable diluents for carrying out process (a) according to the invention are inert organic solvents. These include, in particular, aliphatic, alicyclic or aromatic, optionally halogenated hydrocarbons such as, for example, benzene, benzene, toluene, xylene, chlorobenzene, dichlorobenzene, petroleum ether, hexane, cyclohexane, dichloromethane, chloroform or carbon tetrachloride; ethers such as diethyl ether, diisopropyl ether, dioxane, tetrahydrofuran or ethylene glycol dimethyl or diethyl ether; ketones such as acetone, butanone or methyl isobutyl ketone; nitriles such as acetonitrile, propionitrile or benzonitrile; amides such as N,N-dimethylformamide, N,N-dimethylacetamide, N-methylformanilide, N-methylpyrrolidone or hexamethylphosphoric triamide or esters such as methyl acetate or ethyl acetate.

Process (a) according to the invention is preferably carried out in the presence of a suitable reaction auxiliary. Suitable such auxiliaries are all conventional inorganic or organic bases. These include, for example, alkaline earth metal or alkali metal hydroxides such as sodium hydroxide, calcium hydroxide, potassium hydroxide or else ammonium hydroxide, alkali metal carbonates such as sodium carbonate, potassium carbonate, potassium hydrogen carbonate, sodium hydrogen carbonate or ammonium carbonate, alkali metal or alkaline earth metal acetates such as sodium acetate, potassium acetate, calcium acetate or ammonium acetate, and tertiary amines such as trimethylamine, triethylamine, tributylamine,

N,N-dimethylaniline, pyridine, piperidine, N-methylpiperidine, N,N-dimethylaminopyridine, diazabicyclooctan (DABCO), diazabicyclononene (DBN) or diazabicycloundecene (DBU).

5 When carrying out process (a) according to the invention, the reaction temperatures can be varied within a relatively wide range. It is in general carried out at temperatures of between 0°C and +180°C, preferably at temperatures of between +20°C and +120°C.

10 Process (a) according to the invention is usually carried out under atmospheric pressure. However, it is also possible to work under increased or reduced pressure.

To carry out process (a) according to the invention requires the use, per mole of 1H-triazolinone of the  
15 formula (II), of in general from 1.0 to 3.0 mol, preferably from 1.0 to 1.5 mol, of halogenobenzene derivative of the formula (III) and optionally from 1.0 to 3.0 mol, preferably from 1.0 to 1.5 mol, of base as reaction  
20 auxiliary. The reaction procedure, work-up and isolation of the reaction products are carried out by known processes which are generally conventional (compare also the Preparation Examples).

Suitable diluents for carrying out process (b) according to the invention are inert organic solvents. It is  
25 preferred to use the solvents listed in the description of the implementation of process (a) according to the

invention.

- Process (b) according to the invention is preferably carried out in the presence of a suitable reaction auxiliary. Suitable such auxiliaries are all conventional inorganic or organic bases. These include, for example, alkaline earth metal or alkali metal hydrides, hydroxides, amides, alcoholates, acetates, carbonates or hydrogen carbonates such as, for example, sodium hydride, sodium amide, sodium methylate, sodium ethylate, potassium tert-butyrate, sodium hydroxide, potassium hydroxide, ammonium hydroxide, sodium acetate, potassium acetate, calcium acetate, ammonium acetate, sodium carbonate, potassium carbonate, potassium hydrogen carbonate, sodium hydrogen carbonate or ammonium carbonate and tertiary amines such as trimethylamine, triethylamine, tributylamine, N,N-dimethylaniline, pyridine, N-methylpiperidine, N,N-dimethylaminopyridine, diazabicyclooctane (DABCO), diazabicyclononene (DBN) or diazabicycloundecene (DBU).
- When carrying out process (b) according to the invention, the reaction temperatures can be varied within a relatively wide range. It is generally carried out at temperatures of between -20°C and +150°C, preferably at temperatures of between 0°C and +120°C.
- Process (b) according to the invention is usually carried out under atmospheric pressure. However, it is also possible to work under increased or reduced pressure.

- To carry out process (b) according to the invention requires the use, per m le of substituted triazolinon of the formula (Ia), of in general from 1.0 to 3.0 mol, preferably from 1.0 to 1.5 mol, of nucleophile of the formula (IV) and optionally from 0.1 to 3.0 mol, preferably from 1.0 to 1.5 mol, of base as reaction auxiliary. The reaction procedure, work-up and isolation of the reaction products are carried out by known processes which are generally conventional.
- 10 Suitable diluents for carrying out process (c) according to the invention are inert organic solvents. These include, in particular, aliphatic, alicyclic or aromatic, optionally halogenated hydrocarbons such as, for example, benzine, benzene, toluene, xylene, chlorobenzene, 15 dichlorobenzene, petroleum ether, hexane, cyclohexane, dichloromethane, chloroform, carbon tetrachloride; ethers such as diethyl ether, diisopropyl ether, dioxane, tetrahydrofuran or ethylene glycol dimethyl or diethyl ether; nitriles such as acetonitrile, propionitrile or 20 benzonitrile; amides, such as N,N-dimethylformamide, N,N-dimethylacetamide, N-methylformanilide, N-methylpyrrolidone or hexamethylphosphoric triamide; esters such as methyl acetate or ethyl acetate or sulphoxides such as dimethyl sulphoxide.
- 25 Process (c) according to the invention can optionally also be carried out in a two-phase system such as, for example, water/toluene or water/dichloromethane, optionally in the presence of a suitable phase-transfer



catalyst. Examples of such catalysts which may be mentioned are: tetrabutylammonium iodide, tetrabutylammonium bromide, tetrabutylammonium chloride, tributyl-methylphosphonium bromide, trimethyl- $C_{11}/C_{13}$ -alkylammonium chloride, trimethyl- $C_{11}/C_{13}$ -alkylammonium bromide, dibenzyl-dimethyl-ammonium methyl sulphate, dimethyl- $C_{12}/C_{14}$ -alkyl-benzylammonium chloride, dimethyl- $C_{12}/C_{14}$ -alkyl-benzylammonium bromide, tetrabutylammonium hydroxide, triethylbenzylammonium chloride, methyltrioctylammonium chloride, trimethylbenzylammonium chloride, 15-crown-5, 18-crown-6 or tris-[2-(2-methoxyethoxy)-ethyl]-amine.

Process (c) according to the invention is preferably carried out in the presence of a suitable reaction auxiliary. Suitable such auxiliaries are all conventional inorganic or organic bases. These include, for example, alkaline earth metal or alkali metal hydrides, hydroxides, amides, alcoholates, acetates, carbonates or hydrogen carbonates such as, for example, sodium hydride, sodium amide, sodium methylate, sodium ethylate, potassium tert-butylate, sodium hydroxide, potassium hydroxide, ammonium hydroxide, sodium acetate, potassium acetate, calcium acetate, ammonium acetate, sodium carbonate, potassium carbonate, potassium hydrogen carbonate, sodium hydrogen carbonate or ammonium carbonate and tertiary amines such as trimethylamine, triethylamine, tributylamine, N,N-dimethylaniline, pyridine, N-methylpiperidine, N,N-dimethylaminopyridine, diazabicyclooctane (DABCO), diazabicyclononene (DBN) or diazabicycloundecene (DBU).

When carrying out process (c) according to the invention, the reaction temperatures can be varied within a relatively wide range. It is in general carried out at temperatures of between  $-20^{\circ}\text{C}$  and  $+150^{\circ}\text{C}$ , preferably at temperatures of between  $0^{\circ}\text{C}$  and  $+120^{\circ}\text{C}$ .

Process (c) according to the invention is usually carried out under atmospheric pressure. However, it is also possible to work under increased or reduced pressure.

To carry out process (c) according to the invention requires the use, per mole of substituted triazolinone of the formula (V), of in general from 1.0 to 3.0 mol, preferably from 1.0 to 2.0 mol, of alkylating, acylating or sulphonylating agent of the formula (VI) and optionally from 1.0 to 3.0 mol, preferably from 1.0 to 2.0 mol, of base as reaction auxiliary.

The reaction procedure, work-up and isolation of the reaction products are carried out in both cases by known processes which are generally conventional.

Suitable reducing agents for carrying out process (d) according to the invention are conventional reducing agents. It is particularly preferred to use complex hydrides such as, for example, lithium aluminium hydride or sodium borohydride.

Suitable diluents for carrying out process (d) according to the invention are, depending on the reducing agent used, conventional organic or inorganic solvents. The

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5 preferred diluents used are alcohols such as methanol, ethanol, propanol or butanol, ether alcohols such as methoxyethanol or ethoxyethanol, ethers such as diethyl ether, diisopropyl ether, dioxane or tetrahydrofuran, and their mixtures with water, or water alone.

10 When carrying out process (d) according to the invention, the reaction temperatures can be varied within a relatively wide range. It is generally carried out at temperatures of between -20°C and +100°C, preferably at temperatures of between 0°C and +80°C.

Process (d) according to the invention is usually carried out under atmospheric pressure. However, it is also possible to work under increased or reduced pressure.

15 To carry out process (d) according to the invention requires the use, per mole of 4-alkylidenimino-triazolinone of the formula (VII), of in general from 0.5 to 5.0 mol, preferably from 1.0 to 3.0 mol, of reducing agent. The reaction procedure, work-up and isolation of the reaction products are carried out by known processes  
20 which are generally conventional.

The purification of the end products of the formula (I) is carried out by means of known methods, for example by column chromatography or by recrystallization.  
25 Characterization is made via the melting point or, in the case of non-crystallizing compounds, by means of proton nuclear magnetic resonance spectroscopy (<sup>1</sup>H-NMR).

The active compounds according to the invention can be used as defoliants, desiccants, agents for destroying broad-leaved plants and, especially, as weed-killers. By weeds, in the broadest sense, there are to be understood all plants which grow in locations where they are undesired. Whether the substances according to the invention act as total or selective herbicides depends essentially on the amount used.

The active compounds according to the invention can be used, for example, in connection with the following plants:

Dicotyledon weeds of the genera: Sinapis, Lepidium, Galium, Stellaria, Matricaria, Anthemis, Galinsoga, Chenopodium, Urtica, Senecio, Amaranthus, Portulaca, Xanthium, Convolvulus, Ipomoea, Polygonum, Sesbania, Ambrosia, Cirsium, Carduus, Sonchus, Solanum, Rorippa, Rotala, Lindernia, Lamium, Veronica, Abutilon, Emax, Datura, Viola, Galeopsis, Papaver Centaurea, Trifolium, Ranunculus and Taraxacum.

Dicotyledon cultures of the genera: Gossypium, Glycine, Beta, Daucus, Phaseolus, Pisum, Solanum, Linum, Ipomoea, Vicia, Nicotiana, Lycopersicon, Arachis, Brassica, Lactuca, Cucumis and Cucurbita.

Monocotyledon weeds of the genera: Echinochloa, Setaria, Panicum, Digitaria, Phleum, Poa, Festuca, Eleusine, Brachiaria, Lolium, Bromus, Avena, Cyperus, Sorghum, Agropyron, Cynodon, Monochoria, Pimbristylis, Sagittaria, Eleocharis, Scirpus, Paspalum, Ischaemum, Sphenoclea, Dactyloctenium, Agrostis, Alopecurus and Apera.

Monocotyledon cultures of the genera: Oryza, Zea,

Triticum, Hordeum, Avena, Secale, Sorghum, Panicum, Saccharum, Ananas, Asparagus and Allium.

5 However, the use of the active compounds according to the invention is in no way restricted to these genera, but also extends in the same manner to other plants.

10 The compounds are suitable, depending on the concentration, for the total combating of weeds, for example on industrial terrain and rail tracks and on paths and squares with or without trees planted. Equally, the compounds can be employed for combating weeds in peren-  
15 nial cultures, for example afforestations, decorative tree plantings, orchards, vineyards, citrus groves, nut orchards, banana plantations, coffee plantations, tea plantations, rubber plantations, oil palm plantations, cocoa plantations, soft fruit plantings and hopfields, in lawns, turf and pasture-land, and for the selective combating of weeds in annual cultures.

20 In this context, the active compounds according to the invention can be employed with particularly good success for combating dicotyledon weeds in mono- and dicotyledon cultures such as, for example, soya, sunflower or barley. In addition, the active compounds according to the invention also possess, at corresponding application rates, fungicidal activity and can be employed for  
25 combating diseases in rice, such as, for example, against the causative organism of rice blast disease (*Pyricularia oryzae*).

Depending on their particular physical and/or chemical properties, the active compounds can be converted to the customary formulations, such as solutions, emulsions, suspensions, powders, foams, pastes, granules, aerosols, natural and synthetic materials impregnated with active compound, very fine capsules in polymeric substances and in coating compositions for seed, and furthermore in formulations used with burning equipment, such as fumigating cartridges, fumigating cans, fumigating coils and the like, as well as ULV cold mist and warm mist formulations.

These formulations are produced in a known manner, for example by mixing the active compounds with extenders, that is, liquid solvents, liquefied gases under pressure, and/or solid carriers, optionally with the use of surface-active agents, that is, emulsifying agents and/or dispersing agents, and/or foam-forming agents. In the case of the use of water as an extender, organic solvents can, for example, also be used as auxiliary solvents. As liquid solvents, there are suitable in the main: aromatics, such as xylene, toluene or alkyl naphthalenes, chlorinated aromatics or chlorinated aliphatic hydrocarbons, such as chlorobenzenes, chloroethylenes or methylene chloride, aliphatic hydrocarbons, such as cyclohexane or paraffins, for example mineral oil fractions, alcohols, such as butanol or glycol as well as their ethers and esters, ketones, such as acetone, methyl ethyl ketone, methyl isobutyl ketone or cyclohexanone, strongly polar solvents, such as dimethylformamide and

- dimethyl sulph xide, as well as water; by liquefied gaseous extenders or carriers are meant those liquids which are gaseous at ambient temperature and under atmospheric pressure, for example aerosol propellants, such as halogenated hydrocarbons as well as butane, propane, nitrogen and carbon dioxide; as solid carriers there are suitable: for example ground natural minerals, such as kaolins, clays, talc, chalk, quartz, attapulgite, montmorillonite or diatomaceous earth, and ground synthetic minerals, such as highly disperse silica, alumina and silicates; as solid carriers for granules there are suitable: for example crushed and fractionated natural rocks such as calcite, marble, pumice, sepiolite and dolomite, as well as synthetic granules of inorganic and organic meals, and granules of organic material such as sawdust, coconut shells, maize cobs and tobacco stalks; as emulsifying and/or foam-forming agents there are suitable: for example non-ionic and anionic emulsifiers, such as polyoxyethylene fatty acid esters, polyoxyethylene fatty alcohol ethers, for example alkylaryl polyglycol ethers, alkylsulphonates, alkyl sulphates, arylsulphonates as well as albumen hydrolysis products; as dispersing agents there are suitable: for example lignin-sulphite waste liquors and methylcellulose.
- Adhesives such as carboxymethylcellulose and natural and synthetic polymers in the form of powders, granules or latices, such as gum arabic, polyvinyl alcohol and polyvinyl acetate, as well as natural phospholipids, such as cephalins and lecithins, and synthetic phospholipids,

can be used in the formulations. Other additives can be mineral and vegetable oils.

5 It is possible to use colorants such as inorganic pigments, for example iron oxide, titanium oxide and Prussian Blue, and organic dyestuffs, such as alizarin dyestuffs, azo dyestuffs and metal phthalocyanine dyestuffs, and trace nutrients such as salts of iron, manganese, boron, copper, cobalt, molybdenum and tin.

10 The formulations in general contain between 0.1 and 95 per cent by weight of active compound, preferably between 0.5 and 90%.

15 For controlling weeds, the active compounds according to the invention, as such or in the form of their formulations, can also be used as mixtures with known herbicides, finished formulations or tank mixes being possible.

Known herbicides are suitable for the mixtures, for example anilides, such as for example diflufenican and propanil; arylcarboxylic acids, for example 20 dichloropicolinic acid, dicamba or picloram; aryloxy-alkanoic acids, for example 2,4-D, 2,4-DB, 2,4-DP, fluroxypyr, MCPA, MCPP and triclopyr; aryloxy-phenoxy-alkanoic acid esters, for example diclofop-methyl, fenoxaprop-ethyl, fluazifop-butyl, haloxyfop-methyl and 25 quizalofop-ethyl; azinones, for example chloridazon and norflurazon; carbamates, for example chlorpropham, desmedipham, phenmedipham and prophan;

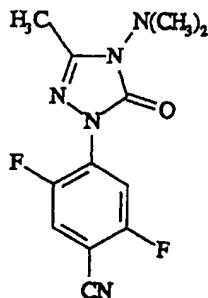


- chloroacetanilides, for example alachlor, acet chlor, butachlor, metazachlor, metolachlor, pretilachlor and propachlor; dinitroanilines, for example oryzalin, pendimethalin and trifluralin; diphenyl ethers, for example acifluorfen, bifenox, fluoroglycofen, fomesafen, halosafen, lactofen and oxyfluorfen; ureas, for example chlortoluron, diuron, fluometuron, isoproturon, linuron and methabenzthiazuron; hydroxylamines, for example alloxydim, clethodim, cycloxydim, sethoxydim and tralkoxydim; imidazolinones, for example imazethapyr, imazamethabenz, imazapyr and imazaquin; nitriles, for example bromoxynil, dichlobenil and ioxynil; oxyacetamides, for example mefenacet; sulphonylureas, for example amidosulfuron, bensulfuron-methyl, chlorimuron-ethyl, chlorsulfuron, cinosulfuron, metsulfuron-methyl, nicosulfuron, primisulfuron, pyrazosulfuron-ethyl, thifensulfuron-methyl, triasulfuron and tribenuron-methyl; thiocarbamates, for example butylate, cycloate, diallate, EPTC, esprocarb, molinate, prosulfocarb, thiobencarb and triallate; triazines, for example atrazine, cyanazine, simazine, simetryne, terbutryne and terbutylazine; triazinones, for example hexazinone, metamitron and metribuzin; and others, for example aminotriazole, benfuresate, bentazone, cinmethylin, clomazone, clopyralid, difenzoquat, dithiopyr, ethofumesate, fluoroachloridone, glufosinate, glyphosate, isoxaben, pyridate, quinchlorac, quinmerac, sulphosate and tridiphane.

Mixtures with other known active compounds, such as

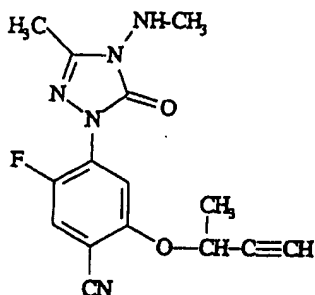
fungicides, insecticides, acaricides, nematocides, bird repellants, plant nutrients and agents which improve soil structure, are also possible.

- 5 The active compounds can be used as such, in the form of their formulations or in the use forms prepared therefrom by further dilution, such as ready-to-use solutions, suspensions, emulsions, powders, pastes and granules. They are used in the customary manner, for example by watering, spraying, atomizing or scattering.
- 10 The active compounds according to the invention can be applied either before or after emergence of the plants. They can also be incorporated into the soil before sowing.
- 15 The amount of active compound used can vary within a relatively wide range. It depends essentially on the nature of the desired effect. In general, the application rates are between 0.01 and 10 kg of active compound per hectare of soil surface, preferably between 0.05 and 5 kg per hectare.
- 20 The preparation and use of the active compounds according to the invention can be seen from the following examples.

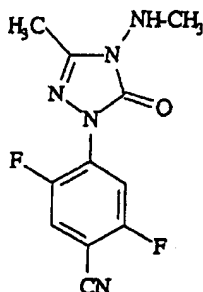
Preparation Examples:Example 1:(Process a)

83 g (0.06 mol) of potassium carbonate are added to 71 g  
 5 (0.5 mol) of 4-dimethylamino-3-methyl-1H-1,2,4-triazolin-  
 5-one (cf. e.g. EP 422 469) and 78.5 g (0.5 mol) of  
 2,4,5-trifluorobenzonitrile (cf. e.g. EP 191 181) in  
 400 ml of dimethyl sulphoxide at room temperature and the  
 mixture is then stirred at 40°C to 50°C for two hours.  
 10 For working up, the cooled reaction mixture is filtered,  
 the filtrate is concentrated in vacuo, the residue is  
 stirred together with water, the precipitated solid is  
 filtered off with suction, washed with water and dried.

111 g (80% of theory) of 1-(4-cyano-2,5-difluorophenyl)-  
 15 3-methyl-4-dimethylamino-1,2,4-triazolin-5-one are  
 obtained with a melting point of 116°C.

Example 2:(Process b)

- 0.6 g (0.015 mol) of sodium hydride (60% in paraffin oil) is added at room temperature to 1.05 g (0.015 mol) of 3-buten-1-ol in 100 ml of acetonitrile, the mixture is stirred for 10 minutes at room temperature, then 2.12 g (0.008 mol) of 1-(4-cyano-2,5-difluorophenyl)-3-methyl-4-(N-methylamino)-1,2,4-triazolin-5-one are added and the mixture is stirred for a further 16 hours at room temperature. For working up, the reaction mixture is filtered, the filtrate is concentrated in vacuo, the residue is stirred together with water, and the precipitated solid is filtered off with suction, washed with water and dried.
- 1.96 g (78% of theory) of 1-(4-cyano-2-fluoro-5-but-1-en-3-yl-oxyphenyl)-3-methyl-4-(N-methylamino)-1,2,4-triazolin-5-one is obtained with a melting point of 184-185°C.

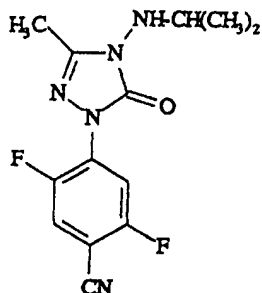
Example 3:(Process a)

16.5 g (0.12 mol) of potassium carbonate are added to  
 12.8 g (0.1 mol) of 4-(N-methylamino)-3-methyl-1H-1,2,4-  
 5 triazolin-5-one (cf. e.g. EP 399 294) and 15.7 g  
 (0.1 mol) of 2,4,5-trifluorobenzonitrile (cf. e.g. EP  
 191 181) in 200 ml of dimethyl sulphoxide at room tem-  
 perature, and the mixture is then stirred at 40°C to 50°C  
 for three hours. For working up, the cooled reaction  
 10 mixture is filtered, the filtrate is concentrated in  
 vacuo, the residue is stirred together with water, and  
 the precipitated solid is filtered off with suction,  
 washed with water and dried.

12.8 g (48% of theory) of 1-(4-cyano-2,5-difluoro-  
 15 phenyl)-3-methyl-4-(N-methylamino)-1,2,4-triazolin-5-one  
 are obtained with a melting point of 128-131°C.

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Example 4:



(Process a)

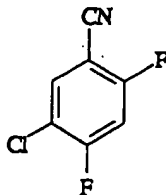
1.9 g (0.014 mol) of potassium carbonate is added to  
 1.9 g (0.012 mol) of 3-methyl-4-(N-isopropylamino)-1H-  
 5 1,2,4-triazolin-5-one (preparation analogous to EP  
 399 294) and 1.9 g (0.012 mol) of 2,4,5-trifluorobenzo-  
 nitrile (cf. e.g. EP 191 181) in 100 ml of dimethyl  
 sulphoxide at room temperature, and the mixture is then  
 stirred at room temperature for two hours and at 40-50°C  
 10 for 1.5 hours. For working up, the cooled reaction  
 mixture is placed in water, and the precipitated solid is  
 filtered off with suction, washed with water and dried.

1.3 g (54.3% of theory) of 1-(4-cyano-2,5-difluoro-  
 phenyl)-3-methyl-4-(N-isopropylamino)-1,2,4-triazolin-5-  
 15 one is obtained with a melting point of 35-36°C.

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Preparation of the starting compounds:

Example III-1:

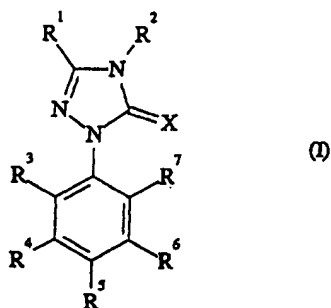


220 g (1.06 mol) of 2,4,5-trichlorobenzonitrile are added with stirring at room temperature to 250 g (4.31 mol) of potassium fluoride in 400 ml of distilled tetramethylene sulphone, and the mixture is then stirred at 195°C to 200°C for 10 hours. For working up, the mixture is cooled, 500 ml of water are added, and the mixture is subjected to steam distillation. The organic fraction is taken up in dichloromethane, dried over sodium sulphate, concentrated in vacuo and distilled.

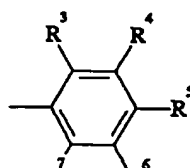
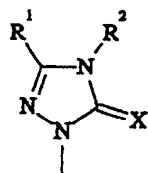
108 g (58% of theory) of 2,4-difluoro-5-chlorobenzonitrile are obtained with a boiling point of 105-107°C at 30 mbar and with a melting point of 48-50°C.

15 In a corresponding manner, and in accordance with the general instructions for the preparation, the following substituted triazolinones of the general formula (I) are obtained:

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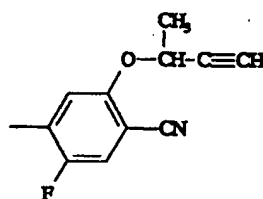
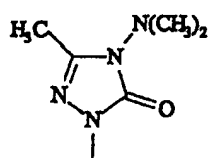


Ex.No.



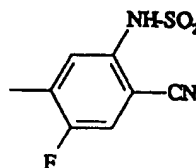
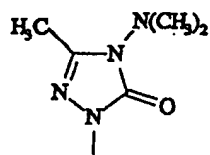
physical  
properties

5



m.p. 120-122°C

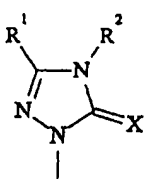
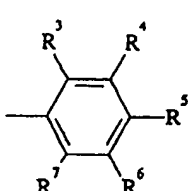
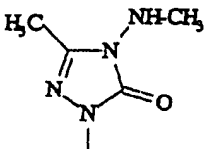
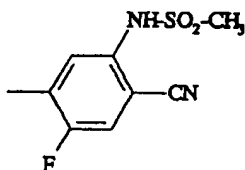
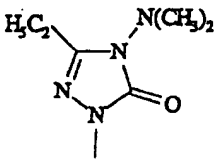
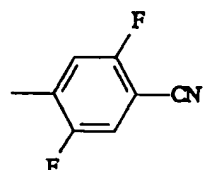
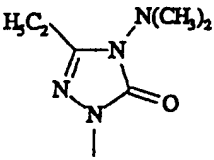
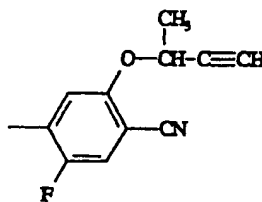
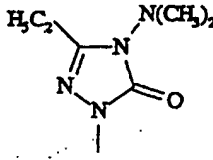
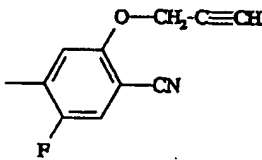
6

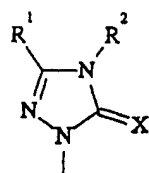
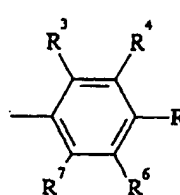
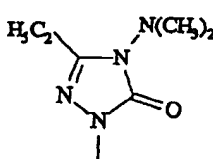
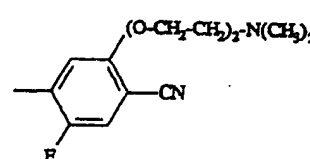
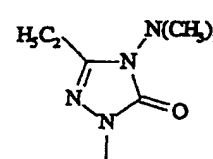
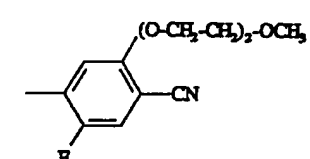
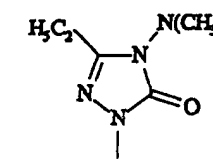
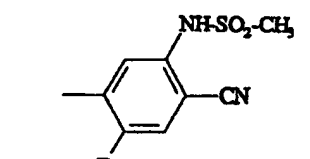


m.p. 211-213°C

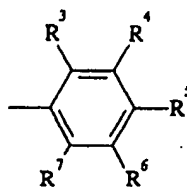
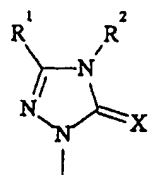


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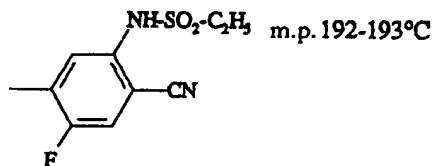
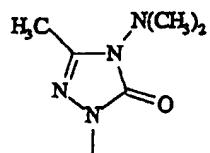
Ex.No.			physical properties
7			m.p. >250°C
8			m.p. 81°C
9			$^1\text{H-NMR}^*)$ : 1.73-1.75; 3.0; 4.92-5.0
10			$^1\text{H-NMR}^*)$ : 2.6-2.7; 3.02; 4.85

Ex.No.			physical properties
11			$^1\text{H-NMR}^*$ : 2.30; 3.0; 4.25-4.30
12			$^1\text{H-NMR}^*$ : 2.6-2.7; 3.0; 3.4; 4.25-4.3
13			m.p. 154°C

Ex.No.

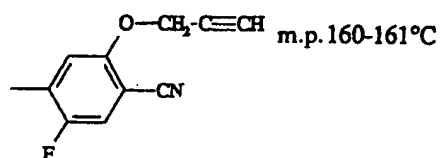
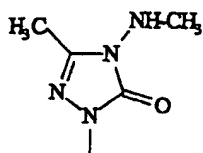
physical  
properties

14



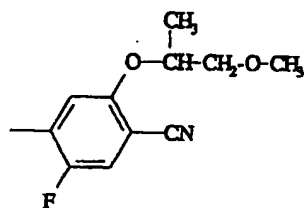
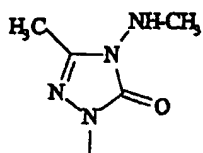
m.p. 192-193°C

15



m.p. 160-161°C

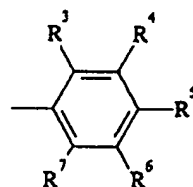
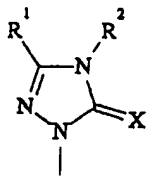
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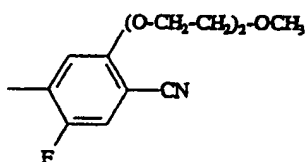
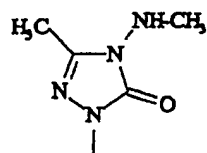
m.p. 67-68°C

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Ex.No.

physical  
properties

17

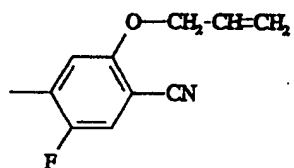
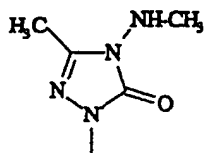
<sup>1</sup>H-NMR<sup>\*)</sup>:

2.35; 2.76-

2.79; 3.4;

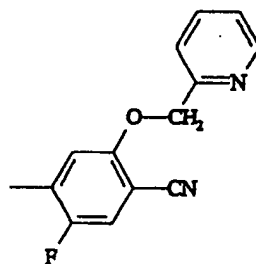
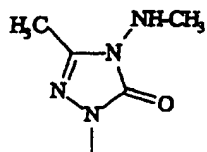
4.25-4.3

18



m.p. 137-138°C

19



m.p. 168-169°C

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Ex.No.			physical properties
20			m.p. 158-160°C
21			m.p. 107-109°C
22			m.p. 87°C

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Ex.No.			physical properties
23			m.p. 185-187°C
24			m.p. 106°C
25			m.p. 144-145°C

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Ex. No.			physical properties
26			m.p. 170°C
27			m.p. 183-185°C
28			m.p. 176°C
29			m.p. 100-102°C

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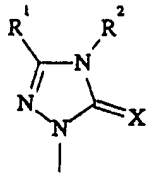
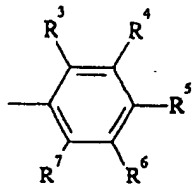
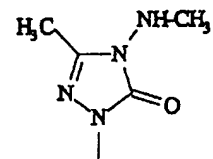
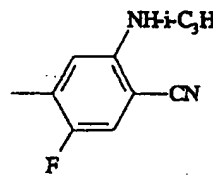
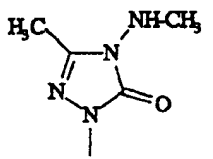
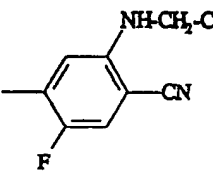
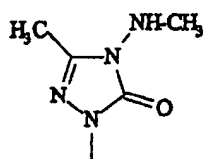
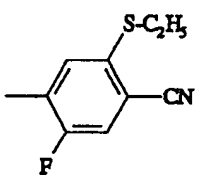
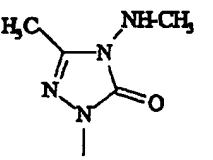
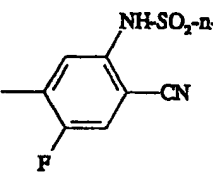
Ex.No.			physical properties
30			m.p. 112-113°C
31			m.p. 136-138°C
32			m.p. 121-123°C



2119673

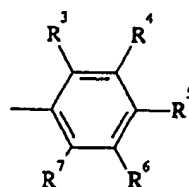
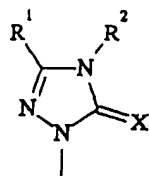
Ex. No.			physical properties
33			m.p. 168-170°C
34			m.p. 155-157°C
35			m.p. 202-204°C

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Ex. No.			physical properties
36			m.p. 188-190°C
37			m.p. 158-160°C
38			m.p. 117-119°C
39			m.p. 128-130°C

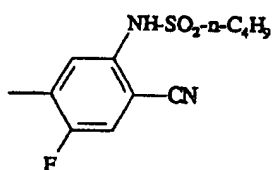
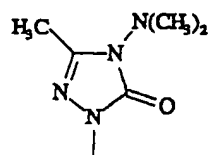
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Ex.No.



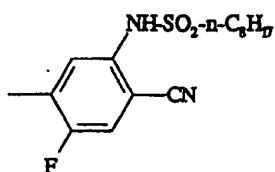
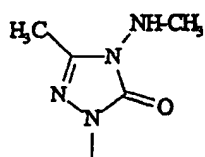
physical  
properties

40



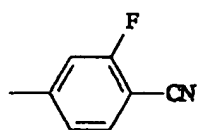
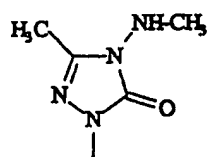
m.p. 146-148°C

41



m.p. 106-108°C

42

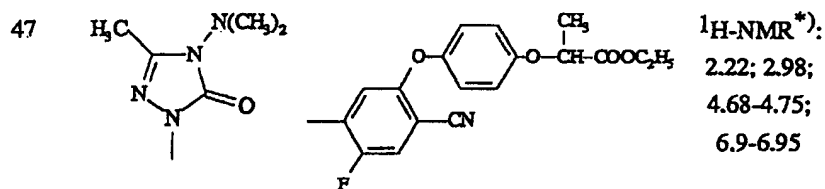
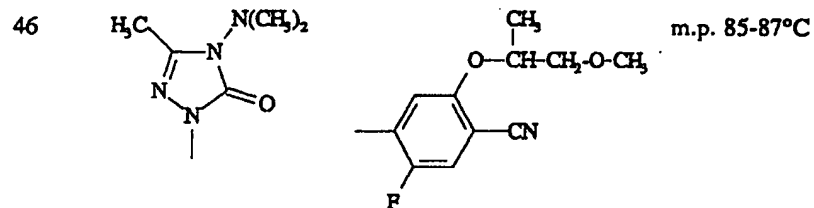
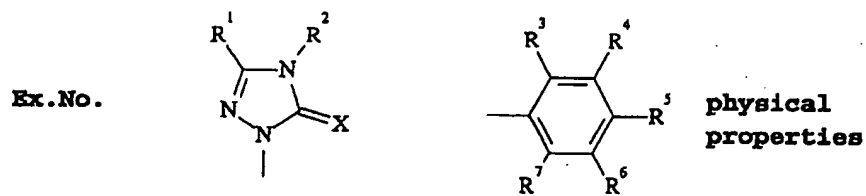


m.p. 146-148°C

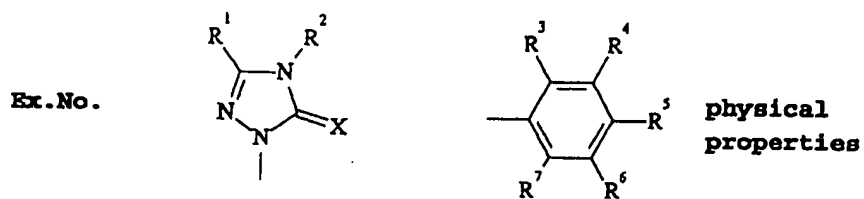
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Ex.No.			physical properties
43			m.p. 125-126°C
44			m.p. 107-108°C
45			m.p. 115-118°C

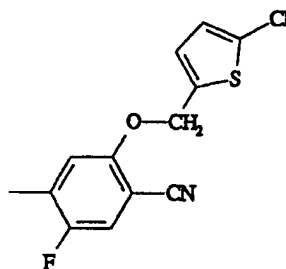
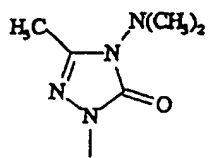
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2113673

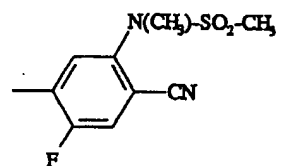
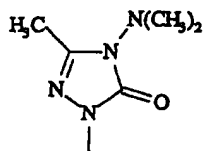


48



m.p. 115-116°C

49



m.p. 153-158°C

2119673

Ex.No.			physical properties
50			m.p. 151-152°C
51			m.p. 178-179°C
52			m.p. 227-228°C
53			m.p. 87-89°C

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Ex. No.			physical properties
54			m.p. 187-188°C
55			m.p. 160-161°C
56			m.p. 95-97°C

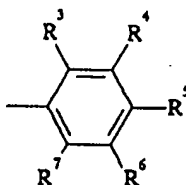
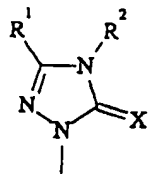


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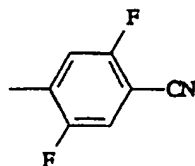
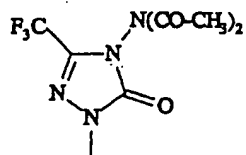
Ex.No.			physical properties
57			m.p. 113°C
58			m.p. 212-214°C

2119673

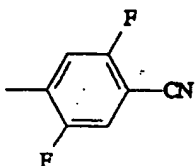
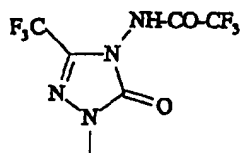
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physical  
properties

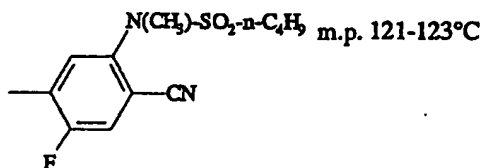
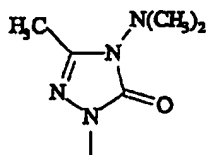
59

<sup>1</sup>H-NMR\*):  
2.52; 7.55-7.65

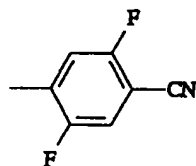
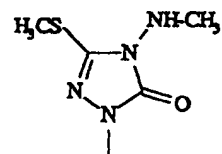
60

<sup>1</sup>H-NMR\*):  
7.55-7.60

61

 $N(CH_3)_2-SO_2-n-C_4H_9$  m.p. 121-123°C

62

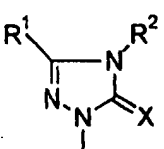
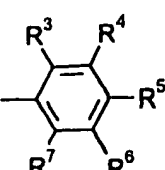
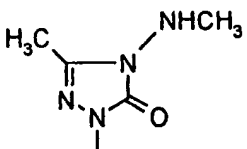
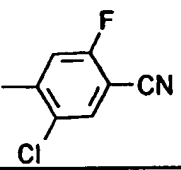
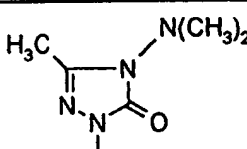
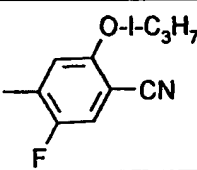
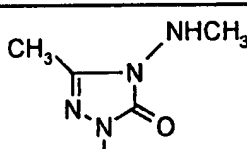
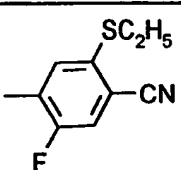
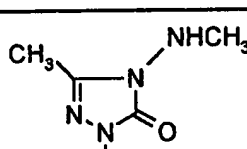
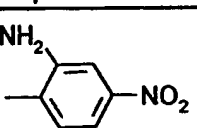
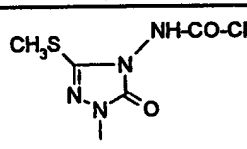
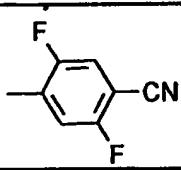
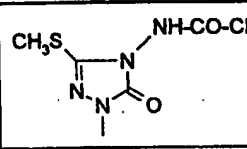
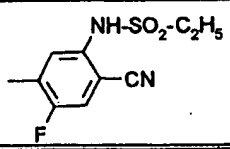


m.p. 150-151°C

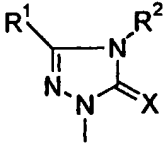
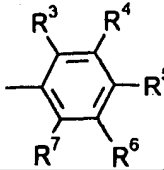
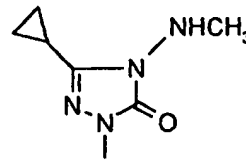
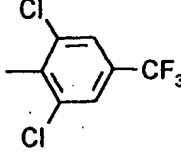
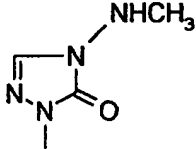
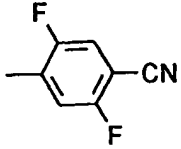
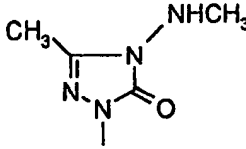
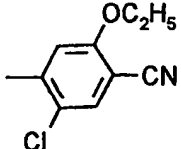
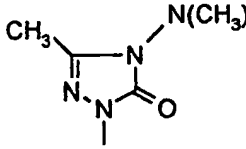
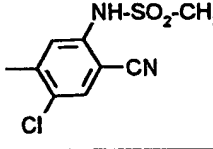
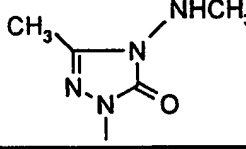
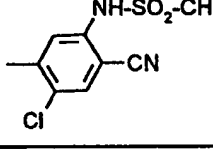
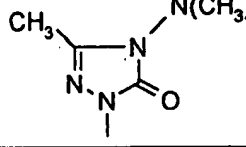
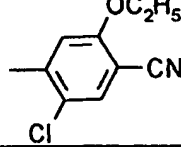
2119673

Ex.No.			physical properties
63			<sup>1</sup> H-NMR <sup>*)</sup> : 1.45; 3.2-3.25; 7.7; 7.95-7.98
64			<sup>1</sup> H-NMR <sup>*)</sup> : 1.1-1.12; 2.3; 3.65-3.75; 4.58
65			m.p. 130°C

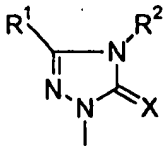
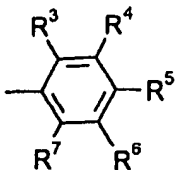
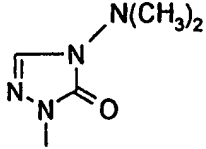
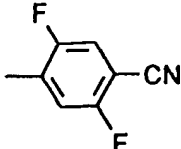
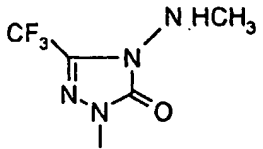
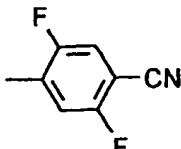
2119673

Ex. No.			Physical properties
66			m.p. 101°C
67			<sup>1</sup> H-NMR*): 1.40-1.42; 2.3; 3.0; 4.6-4.7
68			m.p. 117-119°C
69			m.p. 151-152°C
70			m.p. 84-86°C
71			m.p. 137-138°C

5

Ex. No.			Physical properties
72			m.p. 117-119°C
73			m.p. 120-122°C
74			m.p. 161°C
75			m.p. 149°C
76			m.p. 143°C
77			m.p. 89°C

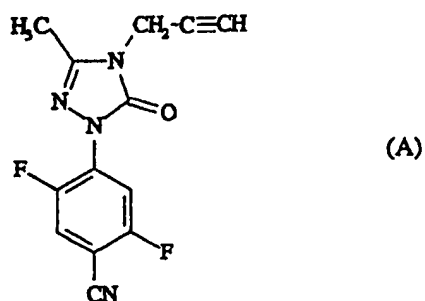
5

Ex. No.			Physical properties
78			m.p. 103°C
79			m.p. 145°C

- 5 \*) The  $^1\text{H-NMR}$  spectra were recorded in deuteriochloroform ( $\text{CDCl}_3$ ) using tetramethylsilane (TMS) as the internal standard. The value given is the chemical shift  $\delta$  in ppm.

Application Examples:

In the following Application Example, the compound listed below was employed as comparison substance:



5      3-Methyl-4-propargyl-1-(2,5-difluoro-4-cyano-phenyl) -  
1,2,4-triazolin-5-one

(known from DE 38 39 480)

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Example A:

**Pre-emergence test**

Solvent: 5 parts by weight of acetone  
Emulsifier: 1 part by weight of alkylaryl polyglycol  
ether

5

To produce a suitable preparation of active compound, one part by weight of active compound is mixed with the stated amount of solvent, the stated amount of emulsifier is added and the concentrate is diluted with water to the desired concentration.

10

Seeds of the test plants are sown in normal soil and, after 24 hours, watered with the preparation of the active compound. It is expedient to keep constant the amount of water per unit area. The concentration of an active compound in the preparation is of no importance, only the amount of active compound applied per unit area being decisive. After three weeks, the degree of damage to the plants is rated in % damage in comparison to the development of the untreated control.

15

20

The figures denote:

0% = no action (like untreated control)  
100% = total destruction

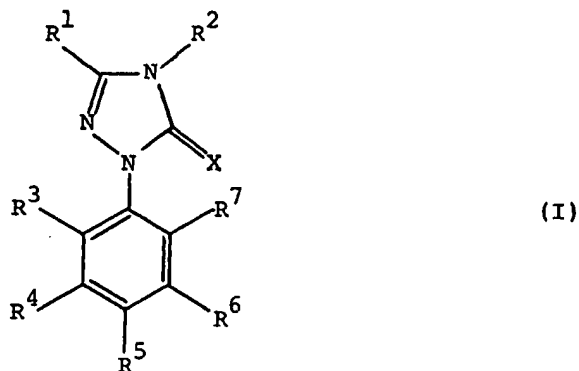
In this test, for example the compounds according to Preparation Examples 5 and 6 exhibit a distinctly superior activity at a rate of 250 g/ha compared to the prior art, in cultures like soy-bean (0-30%), sunflowers (0%), barley (0-100%) against weeds like abuthilon (95-100%), chenopodium (100%), galium (80-95%), matricaria (95-100%) and solanum (95-100%) although the prior art has been applicated at a rate of 500 g/ha.

25



THE EMBODIMENTS OF THE INVENTION IN WHICH AN EXCLUSIVE PROPERTY OR PRIVILEGE IS CLAIMED ARE DEFINED AS FOLLOWS:

1. A substituted 1-aryltriaxolinone of the general formula (I):



in which

$R^1$  represents hydrogen, alkyl, halogenalkyl, alkoxy, alkylthio, alkylsulphinyl, alkylsulphonyl or cycloalkyl,

$R^2$  represents a radical of the formula  $-NR^8R^9$ ,

$R^3$ ,  $R^6$  and  $R^7$  independently of one another in each case represents hydrogen, halogen, amino or nitro,

$R^4$  represents hydrogen, halogen, cyano or nitro, or one of the radicals  $-R^{10}$ ,  $-O-R^{10}$ ,  $-S-R^{10}$ ,  $-S(O)-R^{10}$ ,  $-SO_2-R^{10}$ ,  $-SO_2-OR^{10}$ ,  $-SO_2-NR^{11}R^{10}$ ,  $-CO-OR^{10}$ ,  $-CO-NR^{11}R^{10}$ ,  $-O-SO_2-R^{10}$ ,  $-N(R^{11})-SO_2-R^{10}$ ,  $-NR^{11}R^{10}$ ,  $-NH-P(O)(R^{11})(OR^{10})$  or  $-NH-P(O)(OR^{11})(OR^{10})$ ,

$R^5$  represents nitro, cyano, halogen or halogenoalkyl, and

X represents oxygen or sulphur, where

$R^8$  represents hydrogen, alkyl, halogenoalkyl, a radical of the formula  $-CO-R^{12}$  or a radical of the formula  $-S(O)_n-R^{12}$ ,

$R^9$  represents alkyl, halogenoalkyl, a radical of the

formula  $-\text{CO}-\text{R}^{12}$  or a radical of the formula  $-\text{S}(\text{O})_n-\text{R}^{12}$ ,

$\text{R}^{10}$  represents hydrogen or represents in each case optionally substituted alkyl, alkenyl, alkynyl, cycloalkyl, aryl, arylalkyl or heterocyclyl,

$\text{R}^{11}$  represents hydrogen or represents in each case optionally substituted alkyl, alkenyl, alkynyl, cycloalkyl, arylalkyl or aryl,

$\text{R}^{12}$  represents in each case optionally substituted alkyl, cycloalkyl, arylalkyl, aryl or heterocyclyl, and

$n$  represents a number 0, 1 or 2.

2. A substituted 1-aryltriazolinone of the general formula (I) according to claim 1, characterized in that

$\text{R}^1$  represents hydrogen or represents in each case straight-chain or branched alkyl, alkoxy, alkylthio or alkylsulphonyl having in each case from 1 to 8 carbon atoms, furthermore represents straight-chain or branched halogenoalkyl having from 1 to 8 carbon atoms and from 1 to 17 identical or different halogen atoms, or represents cycloalkyl having from 3 to 8 carbon atoms,

$\text{R}^2$  represents a radical of the formula  $-\text{NR}^8\text{R}^9$ ,

$\text{R}^3$ ,  $\text{R}^6$  and  $\text{R}^7$  independently of one another in each case represent hydrogen, fluorine, chlorine, bromine, iodine, amino or nitro,

$\text{R}^4$  represents hydrogen, fluorine, chlorine, bromine, iodine, cyano or nitro, or represents one of the radicals  $-\text{R}^{10}$ ,  $-\text{O}-\text{R}^{10}$ ,  $-\text{S}-\text{R}^{10}$ ,  $-\text{S}(\text{O})-\text{R}^{10}$ ,  $-\text{SO}_2-\text{R}^{10}$ ,  $-\text{SO}_2-\text{OR}^{10}$ ,  $-\text{SO}_2-\text{NR}^{11}\text{R}^{10}$ ,

$-\text{CO}-\text{OR}^{10}$ ,  $-\text{CO}-\text{NR}^{11}\text{R}^{10}$ ,  $-\text{O}-\text{SO}_2-\text{R}^{10}$ ,  $-\text{N}(\text{R}^{11})-\text{SO}_2-\text{R}^{10}$ ,  $-\text{NR}^{11}\text{R}^{10}$ ,  
 $-\text{NH}-\text{P}(\text{O})(\text{R}^{11})(\text{OR}^{10})$  or  $-\text{NH}-\text{P}(\text{O})(\text{OR}^{11})(\text{OR}^{10})$ ,

$\text{R}^5$  represents nitro, cyano, fluorine, chlorine, bromine, iodine or represents straight-chain or branched halogenoalkyl having from 1 to 6 carbon atoms and from 1 to 13 identical or different halogen atoms and

X represents oxygen or sulphur, where

$\text{R}^8$  represents hydrogen, straight-chain or branched alkyl having from 1 to 8 carbon atoms or straight-chain or branched halogenoalkyl having from 1 to 8 carbon atoms and from 1 to 17 identical or different halogen atoms, and furthermore represents a radical of the formula  $-\text{CO}-\text{R}^{12}$  or a radical of the formula  $-\text{S}(\text{O})_n-\text{R}^{12}$ ,

$\text{R}^9$  represents straight-chain or branched alkyl having from 1 to 8 carbon atoms or straight-chain or branched halogenoalkyl having from 1 to 8 carbon atoms and from 1 to 17 identical or different halogen atoms, and furthermore represents a radical of the formula  $-\text{CO}-\text{R}^{12}$  or a radical of the formula  $-\text{S}(\text{O})_n-\text{R}^{12}$ ,

$\text{R}^{10}$  represents hydrogen,

$\text{R}^{10}$  furthermore represents straight-chain or branched alkyl having from 1 to 14 carbon atoms which is optionally substituted once or more than once by identical or different substituents of halogen, cyano, carboxyl, carbamoyl, in each case straight-chain or branched alkoxy, alkoxyalkoxy, alkylthio, alkylsulphinyl, alkylsulphonyl, alkoxycarbonyl, N-alkylaminocarbonyl, N,N-dialkylaminocarbonyl or alkylsulphonylaminocarbonyl having in each case from 1 to 8 carbon atoms in the individual

alkyl moieties, or heterocyclyl, the heterocyclyl radical being a five- to seven-membered optionally benzo-fused, saturated or unsaturated heterocycle having from 1 to 3 identical or different hetero atoms of nitrogen, oxygen and/or sulphur,

$R^{10}$  furthermore represents alkenyl or alkynyl having in each case from 2 to 8 carbon atoms, which are optionally substituted once or more than once by identical or different halogens,

$R^{10}$  furthermore represents cycloalkyl having from 3 to 7 carbon atoms which is optionally substituted once or more than once by identical or different substituents of halogen and/or straight-chain or branched alkyl having from 1 to 4 carbon atoms,

$R^{10}$  furthermore represents arylalkyl or aryl having in each case from 6 to 10 carbon atoms in the aryl moiety and optionally from 1 to 4 carbon atoms in the straight-chain or branched alkyl moiety, which are in each case optionally substituted in the aryl moiety once or more than once by identical or different substituents, or represents a saturated or unsaturated, five- to seven-membered heterocyclyl radical having from 1 to 3 identical or different hetero atoms of nitrogen, oxygen and/or sulphur, which is optionally substituted once or more than once by identical or different substituents and/or is benzo-fused, wherein substituents of the aryl and/or heterocyclyl are halogen, cyano, nitro, amino, N-acetylamino, in each case straight-chain or branched alkyl, alkoxy, alkylthio, alkylsulphanyl or alkylsulphonyl having in each case from 1 to 6 carbon atoms, in each case straight-chain or branched halogenoalkyl, halogenoalkoxy, halogenoalkylthio, halogenoalkylsulphanyl

or halogenoalkylsulphonyl having in each case from 1 to 6 carbon atoms and from 1 to 13 identical or different halogen atoms, in each case straight-chain or branched alkoxycarbonyl or alkoxyiminoalkyl having in each case from 1 to 6 carbon atoms in the individual alkyl moieties, and phenyl which is optionally substituted once or more than once by identical or different substituents of halogen and/or straight-chain or branched alkyl or alkoxy having in each case from 1 to 6 carbon atoms and/or straight-chain or branched halogenoalkyl or halogenoalkoxy having in each case from 1 to 6 carbon atoms and from 1 to 13 identical or different halogen atoms,

$R^{11}$  represents hydrogen,

$R^{11}$  furthermore represents straight-chain or branched alkyl having from 1 to 14 carbon atoms which is optionally substituted once or more than once by identical or different substituents of fluorine, chlorine, bromine, iodine, cyano, carboxyl, carbamoyl, in each case straight-chain or branched alkoxy, alkoxyalkoxy, alkylthio, alkylsulphinyl, alkylsulphonyl, alkoxycarbonyl, N-alkylaminocarbonyl, N,N-dialkylaminocarbonyl or alkylsulphonylaminocarbonyl having in each case from 1 to 8 carbon atoms in the individual alkyl moieties, or heterocyclyl, the heterocyclyl radical being a five- to seven-membered, optionally benzo-fused, saturated or unsaturated heterocycle having from 1 to 3 identical or different hetero atoms of nitrogen, oxygen and/or sulphur,

$R^{11}$  furthermore represents alkenyl or alkynyl having in each case from 2 to 8 carbon atoms, which are optionally

substituted once or more than once by identical or different halogen atoms of fluorine, chlorine, bromine and/or iodine,

$R^{11}$  furthermore represents cycloalkyl having from 3 to 7 carbon atoms which is optionally substituted once or more than once by identical or different substituents of fluorine, chlorine, bromine, iodine and/or straight-chain or branched alkyl having from 1 to 4 carbon atoms,

$R^{11}$  furthermore represents arylalkyl or aryl having in each case from 6 to 10 carbon atoms in the aryl moiety and optionally from 1 to 4 carbon atoms in the straight-chain or branched alkyl moiety, which are in each case optionally substituted in the aryl moiety once or more than once by identical or different substituents, wherein substituents of the aryl are in each case halogen, cyano, nitro, amino, N-acetylamino, in each case straight-chain or branched alkyl, alkoxy, alkylthio, alkylsulphinyl or alkylsulphonyl having in each case from 1 to 6 carbon atoms, in each case straight-chain or branched halogenoalkyl, halogenoalkoxy, halogenoalkylthio, halogenoalkylsulphinyl or halogenoalkylsulphonyl having in each case from 1 to 6 carbon atoms and from 1 to 13 identical or different halogen atoms, in each case straight-chain or branched alkoxycarbonyl or alkoxyiminoalkyl having in each case from 1 to 6 carbon atoms in the individual alkyl moieties, and phenyl which is optionally substituted once or more than once by identical or different substituents of halogen and/or straight-chain or branched alkyl or alkoxy having in each case from 1 to 6 carbon atoms and/or straight-chain or branched halogenoalkyl or halogenoalkoxy having in each case from 1 to 6 carbon atoms and from 1 to 13 identical

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or different halogen atoms,

$R^{12}$  represents straight-chain or branched alkyl having from 1 to 8 carbon atoms which is optionally substituted once or more than once by identical or different substituents of fluorine, chlorine, bromine, iodine, cycloalkyl having from 3 to 8 carbon atoms or heterocyclyl, the heterocyclyl radical being a five- to seven-membered optionally benzo-fused, saturated or unsaturated heterocycle having from 1 to 3 identical or different hetero atoms of nitrogen, oxygen and/or sulphur,

$R^{12}$  furthermore represents cycloalkyl having from 3 to 7 carbon atoms which is optionally substituted once or more than once by identical or different substituents of fluorine, chlorine, bromine, iodine and/or straight-chain or branched alkyl having from 1 to 4 carbon atoms,

$R^{12}$  furthermore represents arylalkyl or aryl having in each case from 6 to 10 carbon atoms in the aryl moiety and optionally from 1 to 4 carbon atoms in the straight-chain or branched alkyl moiety, which are in each case optionally substituted in the aryl moiety once or more than once by identical or different substituents, or represents a saturated or unsaturated, five- to seven-membered heterocyclyl radical having from 1 to 3 identical or different hetero atoms of nitrogen, oxygen and/or sulphur, which is optionally substituted once or more than once by identical or different substituents, wherein the substituents of aryl or heterocyclyl are in each case halogen, cyano, nitro, amino, N-acetylamino, in each case straight-chain or branched alkyl, alkoxy, alkylthio, alkylsulphinyl or alkylsulphonyl having in each case from 1 to 6

carbon atoms, in each case straight-chain or branched halogeno-alkyl, halogenoalkoxy, halogenoalkylthio, halogenoalkylsulphinyl or halogenoalkylsulphonyl having in each case from 1 to 6 carbon atoms and from 1 to 13 identical or different halogen atoms, in each case straight-chain or branched alkoxycarbonyl or alkoximino-alkyl having in each case from 1 to 6 carbon atoms in the individual alkyl moieties, and phenyl which is optionally substituted once or more than once by identical or different substituents of halogen and/or straight-chain or branched alkyl or alkoxy having in each case from 1 to 6 carbon atoms and/or straight-chain or branched halogenoalkyl or halogenoalkoxy having in each case from 1 to 6 carbon atoms and from 1 to 13 identical or different halogen atoms, and

n represents a number 0, 1 or 2.

3. A substituted 1-aryltriaolinone of the general formula (I) according to claim 1, characterized in that

$R^1$  represents hydrogen or in each case straight-chain or branched alkyl, alkoxy, alkylthio or alkylsulphonyl having in each case from 1 to 6 carbon atoms, or furthermore represents straight-chain or branched halogenoalkyl having from 1 to 6 carbon atoms and from 1 to 13 identical or different halogen atoms of fluorine, chlorine or bromine, or represents cycloalkyl having from 3 to 7 carbon atoms,

$R^2$  represents a radical of the formula  $-NR^8R^9$ ,

$R^3$ ,  $R^6$  and  $R^7$  independently of one another in each case represent hydrogen, fluorine, chlorine, bromine, amino or nitro,

$R^4$  represents hydrogen, fluorine, chlorine, bromine,



cyano or nitro, or represents one of the radicals  $-R^{10}$ ,  $-O-R^{10}$ ,  $-S-R^{10}$ ,  $-S(O)-R^{10}$ ,  $-SO_2-R^{10}$ ,  $-SO_2-OR^{10}$ ,  $-SO_2-NR^{11}R^{10}$ ,  $-CO-OR^{10}$ ,  $-CO-NR^{11}R^{10}$ ,  $-O-SO_2-R^{10}$ ,  $-N(R^{11})-SO_2-R^{10}$ ,  $-NR^{11}R^{10}$ ,  $-NH-P(O)(R^{11})(OR^{10})$  or  $-NH-P(O)(OR^{11})(OR^{10})$ ,

$R^5$  represents nitro, cyano, fluorine, chlorine or bromine or represents straight-chain or branched halogenoalkyl having 1 to 4 carbon atoms and from 1 to 9 identical or different halogen atoms of fluorine, chlorine or bromine, and

X represents oxygen or sulphur, where

$R^8$  represents hydrogen, straight-chain or branched alkyl having from 1 to 6 carbon atoms or straight-chain or branched halogenoalkyl having from 1 to 6 carbon atoms and from 1 to 13 identical or different halogen atoms of fluorine, chlorine or bromine, and furthermore represents a radical of the formula  $-CO-R^{12}$  or a radical of the formula  $-S(O)_n-R^{12}$ ,

$R^9$  represents straight-chain or branched alkyl having from 1 to 6 carbon atoms or straight-chain or branched halogenoalkyl having from 1 to 6 carbon atoms and from 1 to 13 identical or different halogen atoms of fluorine, chlorine or bromine, and furthermore represents a radical of the formula  $-CO-R^{12}$  or a radical of the formula  $-S(O)_n-R^{12}$ ,

$R^{10}$  represents hydrogen,

$R^{10}$  furthermore represents straight-chain or branched alkyl having from 1 to 12 carbon atoms which is optionally substituted once or twice by identical or different substituents of cyano, carboxyl, carbamoyl, in each case straight-chain or branched alkoxy, alkoxyalkoxy, alkylthio, alkylsulphinyl, alkylsulphonyl, alkoxycarbonyl, N-alkylaminocarbonyl, N,N-dialkylamino-

carbonyl or alkylsulphonylaminocarbonyl having in each case from 1 to 6 carbon atoms in the individual alkyl moieties, or heterocyclyl, the heterocyclyl radical being a five- to seven-membered, optionally benzo-fused, saturated or unsaturated heterocycle having from 1 to 3 identical or different hetero atoms of nitrogen, oxygen and/or sulphur,

$R^{10}$  furthermore represents straight-chain or branched halogenoalkyl having from 1 to 6 carbon atoms and from 1 to 13 identical or different halogen atoms of fluorine, chlorine and/or bromine,

$R^{10}$  furthermore represents alkenyl or alkynyl having in each case from 2 to 6 carbon atoms, which are in each case optionally substituted once to three times by identical or different halogen atoms of fluorine, chlorine and/or bromine,

$R^{10}$  furthermore represents cycloalkyl having from 3 to 7 carbon atoms which is optionally substituted once to three times by identical or different substituents of fluorine, chlorine, bromine and/or straight-chain or branched alkyl having from 1 to 3 carbon atoms,

$R^{10}$  furthermore represents phenylalkyl or phenyl having optionally from 1 to 3 carbon atoms in the straight-chain or branched alkyl moiety, which are in each case optionally substituted in the phenyl moiety once to five times by identical or different substituents, or represents a saturated or unsaturated, five- to seven-membered heterocyclyl radical having from 1 to 3 identical or different hetero atoms of nitrogen, oxygen and/or sulphur, which is optionally substituted once to three times by identical or different substituents and/or is

benzo-fused, wherein the substituents of phenyl or heterocyclyl are in each case fluorine, chlorine, bromine, cyano, nitro, amino, N-acetylamino, in each case straight-chain or branched alkyl, alkoxy, alkylthio, alkylsulphinyl or alkylsulphonyl having in each case from 1 to 4 carbon atoms, in each case straight-chain or branched halogenoalkyl, halogenoalkoxy, halogenoalkylthio, halogenoalkylsulphinyl or halogenoalkylsulphonyl having in each case from 1 to 4 carbon atoms and from 1 to 9 identical or different halogen atoms, in each case straight-chain or branched alkoxycarbonyl or alkoximinoalkyl having in each case from 1 to 4 carbon atoms in the individual alkyl moieties, and phenyl which is optionally substituted once to five time by identical or different substituents of fluorine, chlorine, bromine and/or straight-chain or branched alkyl or alkoxy having in each case from 1 to 4 carbon atoms and/or straight-chain or branched halogenoalkyl or halogenoalkoxy having in each case from 1 to 4 carbon atoms and from 1 to 9 identical or different halogen atoms,

$R^{11}$  represents hydrogen,

$R^{11}$  furthermore represents straight-chain or branched alkyl having from 1 to 12 carbon atoms which is optionally substituted once or twice by identical or different substituents of cyano, carboxyl, carbamoyl, in each case straight-chain or branched alkoxy, alkoxyalkoxy, alkylthio, alkylsulphinyl, alkylsulphonyl, alkoxycarbonyl, N-alkylaminocarbonyl, N,N-dialkylaminocarbonyl or alkylsulphonylaminocarbonyl having in each case from 1 to 6 carbon atoms in the individual alkyl moieties, or

heterocyclyl, the heterocyclyl radical being a five- to seven-membered, optionally benzo-fused, saturated or unsaturated heterocycle having from 1 to 3 identical or different hetero atoms of nitrogen, oxygen and/or sulphur,

$R^{11}$  furthermore represents straight-chain or branched halogenoalkyl having from 1 to 6 carbon atoms and from 1 to 13 identical or different halogen atoms of fluorine, chlorine and/or bromine,

$R^{11}$  furthermore represents alkenyl or alkynyl having in each case from 2 to 6 carbon atoms, which are in each case optionally substituted once to three times by identical or different halogen atoms of fluorine, chlorine and/or bromine,

$R^{11}$  furthermore represents cycloalkyl having from 3 to 7 carbon atoms which is optionally substituted once to three times by identical or different substituents of fluorine, chlorine, bromine and/or straight-chain or branched alkyl having from 1 to 3 carbon atoms,

$R^{11}$  furthermore represents phenylalkyl or phenyl having optionally from 1 to 3 carbon atoms in the straight-chain or branched alkyl moiety, which are in each case optionally substituted in the phenyl moiety once to five times by identical or different substituents, wherein the substituents of phenyl are in each case fluorine, chlorine, bromine, cyano, nitro, amino, N-acetylamino, in each case straight-chain or branched alkyl, alkoxy, alkylthio, alkylsulphinyl or alkylsulphonyl having in each case from 1 to 4 carbon atoms, in each case straight-chain or branched halogenoalkyl, halogenoalkoxy, halogenoalkylthio, halogenoalkylsulphinyl or halogenoalkylsulphonyl having in

each case from 1 to 4 carbon atoms and from 1 to 9 identical or different halogen atoms, in each case straight-chain or branched alkoxycarbonyl or alkoximinoalkyl having in each case from 1 to 4 carbon atoms in the individual alkyl moieties, and phenyl which is optionally substituted once to five times by identical or different substituents of fluorine, chlorine, bromine and/or straight-chain or branched alkyl or alkoxy having in each case from 1 to 4 carbon atoms and/or straight-chain or branched halogenoalkyl or halogenoalkoxy having in each case from 1 to 4 carbon atoms and from 1 to 9 identical or different halogen atoms;

$R^{12}$  represents straight-chain or branched alkyl having from 1 to 12 carbon atoms which is optionally substituted once or twice by identical or different substituents of cycloalkyl having from 3 to 7 carbon atoms or heterocyclyl, the heterocyclyl radical being a five- to seven-membered, optionally benzo-fused, saturated or unsaturated heterocycle having from 1 to 3 identical or different hetero atoms of nitrogen, oxygen and/or sulphur,

$R^{12}$  furthermore represents halogenoalkyl having from 1 to 6 carbon atoms and from 1 to 13 identical or different halogen atoms of fluorine, chlorine and/or bromine,

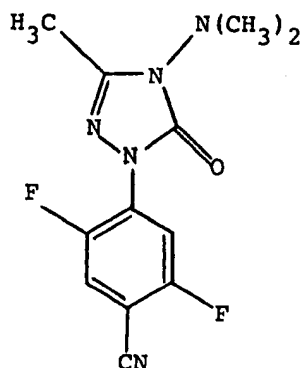
$R^{12}$  furthermore represents cycloalkyl having from 3 to 7 carbon atoms which is optionally substituted once to three times by identical or different substituents of fluorine, chlorine, bromine and/or straight-chain or branched alkyl having from 1 to 3 carbon atoms,

$R^{12}$  furthermore represents phenylalkyl or phenyl having

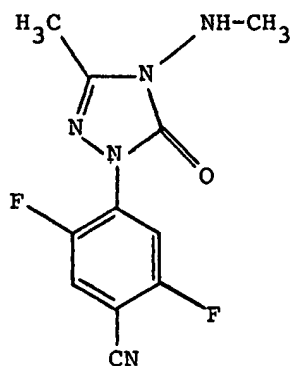
optionally from 1 to 3 carbon atoms in the straight-chain or branched alkyl moiety, which are in each case optionally substituted in the phenyl moiety once to five times by identical or different substituents, or represents a saturated or unsaturated, five- to seven-membered heterocyclyl radical having from 1 to 3 identical or different hetero atoms of nitrogen, oxygen and/or sulphur, which is optionally substituted once to three times by identical or different substituents and/or is benzo-fused, wherein the substituents of phenyl or heterocyclyl are in each case fluorine, chlorine, bromine, cyano, nitro, amino, N-acetylamino, in each case straight-chain or branched alkyl, alkoxy, alkylthio, alkylsulphinyl or alkylsulphonyl having in each case from 1 to 4 carbon atoms, in each case straight-chain or branched halogenoalkyl, halogenoalkoxy, halogenoalkylthio, halogenoalkylsulphinyl or halogenoalkylsulphonyl having in each case from 1 to 4 carbon atoms and from 1 to 9 identical or different halogen atoms, in each case straight-chain or branched alkoxycarbonyl or alkoximinoalkyl having in each case from 1 to 4 carbon atoms in the individual alkyl moieties, and phenyl which is optionally substituted once to five times by identical or different substituents of fluorine, chlorine, bromine and/or straight-chain or branched alkyl or alkoxy having in each case from 1 to 4 carbon atoms and/or straight-chain or branched halogenoalkyl or halogenoalkoxy having in each case from 1 to 4 carbon atoms and from 1 to 9 identical or different halogen atoms and

n represents a number 0, 1 or 2.

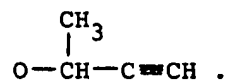
4. The compound 1-(4-cyano-2,5-difluorophenyl)-3-methyl-4-dimethylamino-1,2,4-triazolin-5-one of the formula



5. The compound 1-(4-cyano-2,5-difluorophenyl)-3-methyl-4-(N-methylamino)-1,2,4-triazolin-5-one of the formula



6. A compound according to claim 1 wherein  
 $R^1$  is methyl,  $R^2$  is  $-N(CH_3)_2$ ,  $R^3$  is fluorine,  $R^4$  is hydrogen,  $R^5$  is cyano, X is oxygen and  $R^7$  is



7. A compound according to claim 1 wherein  $R^1$  is methyl,  $R^2$  is  $-N(CH_3)_2$ ,  $R^3$  is fluorine,  $R^4$  is hydrogen,  $R^5$  is cyano, X is oxygen and  $R^7$  is  $NH-SO_2-CH_3$ .
8. A herbicidal composition comprising a herbicidally effective amount of a compound according to any one of claims 1 to 7 in admixture with a suitable carrier or diluent.
9. A herbicidal composition comprising a herbicidally effective amount of a compound according to any one of claims 1 to 7 in admixture with a solid diluent or carrier, a liquified normally gaseous diluent or carrier, or a liquid diluent or carrier containing a surface active agent.
10. A method of combating weeds which comprises applying to the weeds, or to a habitat thereof, a herbicidally effective amount of a compound according to any one of claims 1 to 7.
11. A method of combating weeds which comprises applying to the weeds, or to a habitat thereof, a herbicidally effective amount of a composition containing a compound according to any one of claims 1 to 7 in admixture with a suitable carrier or diluent.
12. A method of combating weeds which comprises applying to the weeds, or to a habitat thereof, a herbicidally effective amount of a composition containing between 0.1 and 95% by weight of a compound according to any one of claims 1 to 7 in admixture with a suitable carrier or diluent.



13. A method of combating weeds which comprises applying to the weeds, or to a habitat thereof, a herbicidally effective amount of a composition containing between 0.5 and 90% by weight of a compound according to any one of claims 1 to 7 in admixture with a suitable carrier or diluent.

14. A method of combating weeds which comprises applying to the weeds, or to a habitat thereof, a herbicidally effective amount of a compound according to any one of claims 1 to 7 wherein the compound is applied as a pre-emergence herbicide.

15. A method of combating weeds which comprises applying to the weeds, or to a habitat thereof, a herbicidally effective amount of a compound according to any one of claims 1 to 7 wherein the compound is applied as a post-emergence herbicide.

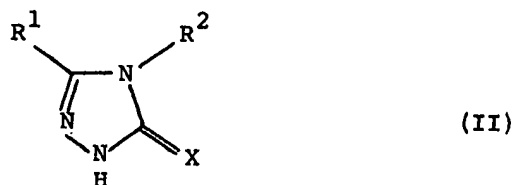
16. A method of combating weeds which comprises applying to the weeds, or to a habitat thereof, a herbicidally effective amount of a compound according to any one of claims 1 to 7 wherein the compound is applied to an area of cultivation at a rate of between 0.01 and 10 kg/ha.

17. A method of combating weeds which comprises applying to the weeds, or to a habitat thereof, a herbicidally effective amount of a compound according to any one of claims 1 to 7 wherein the compound is applied to an area of cultivation at a rate of between 0.05 and 5 kg/ha.

18. A process for preparing a compound of formula (I) as defined in claim 1 and  $R^1$ ,  $R^2$ ,  $R^3$ ,  $R^4$ ,  $R^5$ ,  $R^6$ ,  $R^7$  and X are as

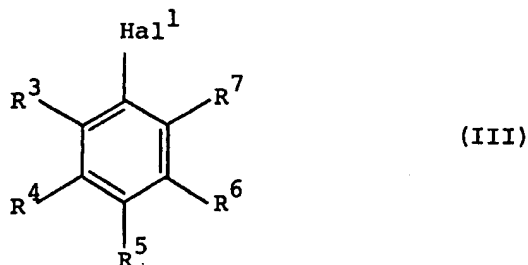
defined in claim 1, which process comprises:

a) reacting a 1H-triazolinone of the formula (II)



in which

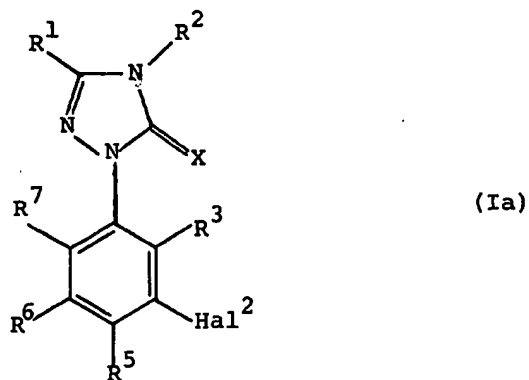
$R^1$ ,  $R^2$  and X have the meaning given above, with a halogenobenzene derivative of the formula (III)



in which

$R^3$ ,  $R^4$ ,  $R^5$ ,  $R^6$  and  $R^7$  have the meanings given above and  $\text{Hal}^1$  represents halogen, or

b) reacting a substituted 1-aryltriaolinone of the formula (Ia)



in which

$R^1$ ,  $R^2$ ,  $R^3$ ,  $R^6$ ,  $R^5$ ,  $R^7$  and X have the meanings given above and

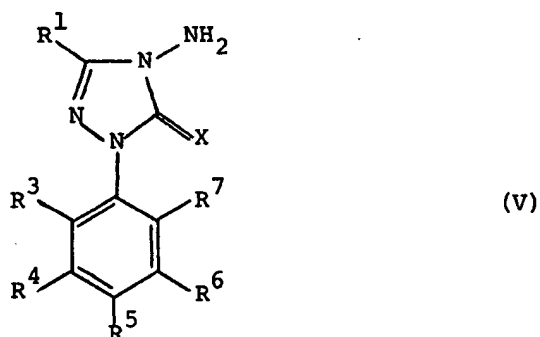
$Hal^2$  represents halogen, with a nucleophile of the formula (IV)



in which

$R^{13}$  represents a radical of the formula  $-O-R^{10}$ ,  $-S-R^{10}$  or  $-NR^{11}R^{10}$ , where  $R^{10}$  and  $R^{11}$  have the meanings given above, or

c) reacting a substituted triazolinone of the formula (V)



in which

$R^1$ ,  $R^3$ ,  $R^4$ ,  $R^5$ ,  $R^6$ ,  $R^7$  and X have the meanings given above, with an alkylating, acylating or sulphonylating agent of the formula (VI)

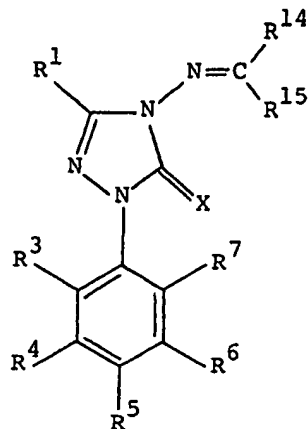


in which

$R^9$  has the meaning given above and

E represents an electron-attracting leaving group, or

d) reacting a 4-alkylideneimino-triazolinone of the formula (VII)



(VII)

in which

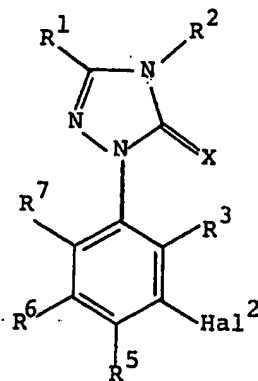
$R^1$ ,  $R^3$ ,  $R^4$ ,  $R^5$ ,  $R^6$ ,  $R^7$  and  $X$  have the meanings given above,

$R^{14}$  represents hydrogen or alkyl and

$R^{15}$  represents alkyl or alkoxy.

19. A process for preparing a herbicidal composition which comprises admixing a compound of formula (I) as defined in any one of claims 1 to 7 together with an extender or surface active agent.

20. A substituted 1-aryltriazolinone of the formula (Ia)



(Ia)

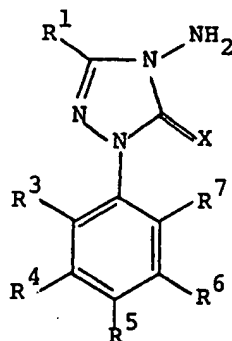
in which

$R^1$ ,  $R^2$ ,  $R^3$ ,  $R^5$ ,  $R^6$ ,  $R^7$  and X are as defined in claim 1

and

$Hal^2$  represents halogen.

21. A substituted triazolinone of the formula (V)



(V)

in which

$R^1$ ,  $R^3$ ,  $R^4$ ,  $R^5$ ,  $R^6$ ,  $R^7$  and X are as defined in claim 1.

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